

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JUL 20 Powerful new interactive analysis and visualization software,
STN AnaVist, now available
NEWS 4 AUG 11 STN AnaVist workshops to be held in North America
NEWS 5 AUG 30 CA/CAPLUS - Increased access to 19th century research documents
NEWS 6 AUG 30 CASREACT - Enhanced with displayable reaction conditions
NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 8 OCT 03 MATHDI removed from STN
NEWS 9 OCT 04 CA/CAPLUS-Canadian Intellectual Property Office (CIPO) added
to core patent offices
NEWS 10 OCT 06 STN AnaVist workshops to be held in North America
NEWS 11 OCT 13 New CAS Information Use Policies Effective October 17, 2005
NEWS 12 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download
of CAPLUS documents for use in third-party analysis and
visualization tools
NEWS 13 OCT 27 Free KWIC format extended in full-text databases
NEWS 14 OCT 27 DIOGENES content streamlined
NEWS 15 OCT 27 EPFULL enhanced with additional content

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
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NEWS WWW CAS World Wide Web Site (general information)

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of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

*PROMT - PROMT from 1978 - present

* The files listed above are temporarily unavailable.

FILE 'HOME' ENTERED AT 14:41:25 ON 30 OCT 2005

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:41:40 ON 30 OCT 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 OCT 2005 HIGHEST RN 866391-97-1

DICTIONARY FILE UPDATES: 28 OCT 2005 HIGHEST RN 866391-97-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

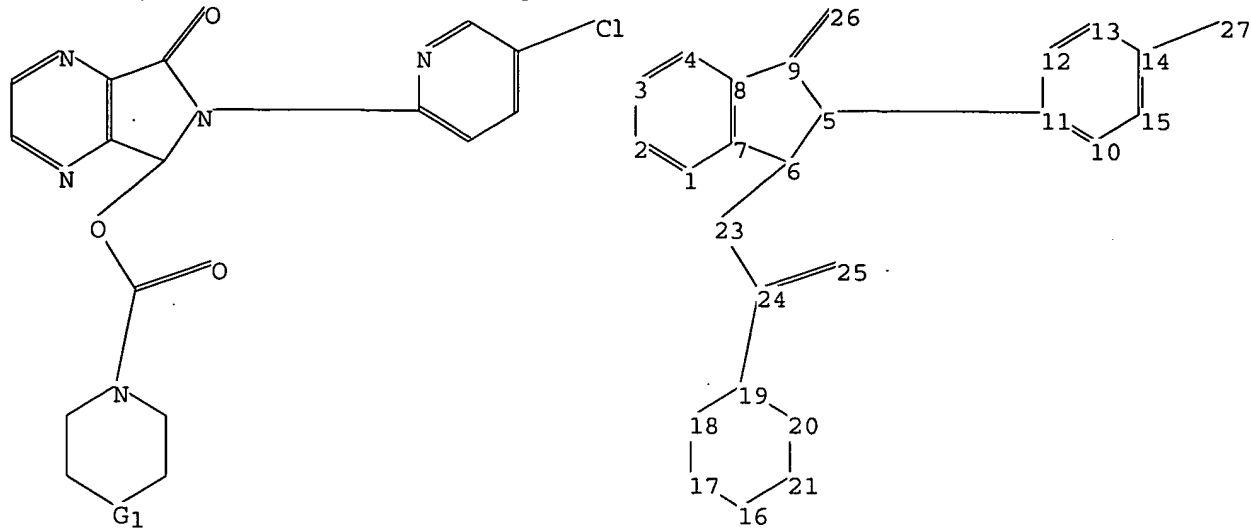
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

10/30/2005 10691628.trn

=>

Uploading C:\Program Files\Stnexp\Queries\10691628.str



chain nodes :

23 24 25 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

chain bonds :

5-11 6-23 9-26 14-27 19-24 23-24 24-25

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

5-6 5-9 5-11 6-7 6-23 8-9 9-26 14-27 16-17 16-21 17-18 18-19 19-20
19-24 20-21 23-24 24-25

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15

isolated ring systems :

containing 1 : 10 : 16 :

G1:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

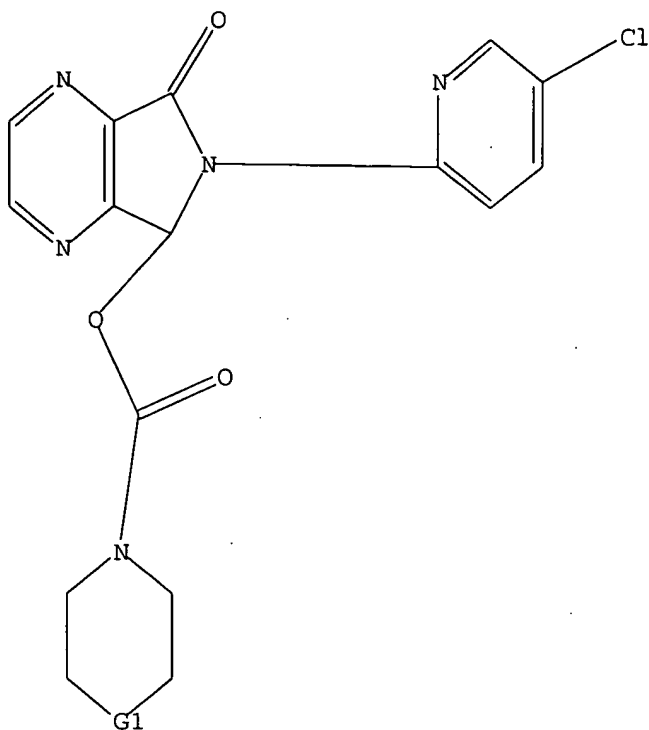
10691628.trn

Page 3

14:51

10/30/2005 10691628.trn

L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:41:56 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:42:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 54 TO ITERATE

100.0% PROCESSED 54 ITERATIONS

SEARCH TIME: 00.00.01

53 ANSWERS

L3 53 SEA SSS FUL L1

=> ~~FIL HCAPLUS~~

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

10691628.trn

Page 4

14:51

10/30/2005 10691628.trn

	ENTRY	SESSION
FULL ESTIMATED COST	161.33	161.54

FILE 'HCAPLUS' ENTERED AT 14:42:12 ON 30 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 30 Oct 2005 VOL 143 ISS 19
FILE LAST UPDATED: 28 Oct 2005 (20051028/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 571 L3

=> s 14 and diseases

219553 DISEASES

1 DISEASESES

219554 DISEASES

(DISEASES OR DISEASESES)

L5 6 L4 AND DISEASES

=> s 14 and p/dt

5029807 P/DT

L6 69 L4 AND P/DT

=> s 16 and us/pc

1482501 US/PC

L7 44 L6 AND US/PC

=> s 17 and py<=2002

22790215 PY<=2002

L8 23 L7 AND PY<=2002

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:349001 HCAPLUS

DOCUMENT NUMBER: 142:386016

TITLE: Use of N-desmethylozapine to treat human
neuropsychiatric disease

INVENTOR(S): Weiner, David M.; Brann, Mark R.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S

Ser. No. 761,787.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005085463	A1	20050421	US 2004-913117	20040805
US 2004224942	A1	20041111	US 2004-761787	20040121
PRIORITY APPLN. INFO.:			US 2003-442690P	P 20030123
			US 2004-761787	A2 20040121

AB Disclosed herein is a method to treat neuropsychiatric diseases including psychosis, affective disorders, dementia, neuropathic pain, and glaucoma. Treatment is carried out by administering a therapeutically effective amount of N-desmethyloclozapine to a patient suffering from a neuropsychiatric disease.

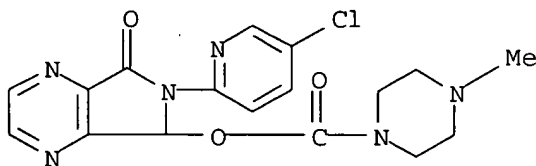
IT 43200-80-2, Zopiclone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of N-desmethyloclozapine to treat human neuropsychiatric disease)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:68108 HCAPLUS

DOCUMENT NUMBER: 140:139351

TITLE: Psychotropic drugs and fatal pulmonary embolism

AUTHOR(S): Parkin, Lianne; Skegg, David C. G.; Herbison, G. Peter; Paul, Charlotte

CORPORATE SOURCE: Department of Preventive and Social Medicine, University of Otago, Dunedin, N. Z.

SOURCE: Pharmacoepidemiology and Drug Safety (2003) 12(8), 647-652

CODEN: PDSAEA; ISSN: 1053-8569

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Purpose: To examine the association between the use of psychotropic drugs and fatal pulmonary embolism. Methods: We conducted a national case-control study of fatal pulmonary embolism. Cases were 75 New Zealand men and women aged 15 - 59 yr who died between 1 Jan. 1990 and 31 Dec. 1998, where the underlying cause of death was certified as codes 415.1, 451 or 453 of the International Classification of Diseases (9th Revision). Four controls, matched for sex and age, were selected from the general practice to which each case had belonged. Information was abstracted from the records of general practitioners, family planning clinics and

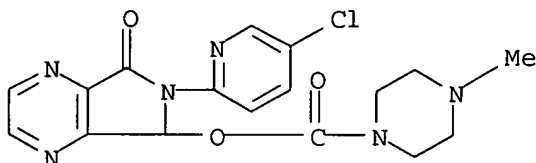
psychiatric services. Odds ratios and 95% confidence intervals (95% CI) were estimated using conditional logistic regression. The key analyses were restricted to cases (n = 62) and controls (n = 243) without major risk factors for venous thromboembolism. Results: Compared to non-use, the adjusted odds ratio for current use of antipsychotic drugs was 13.3 (95% CI: 2.3 - 76.3). Low potency antipsychotics appeared to carry the highest risk (odds ratio: 20.8 [95% CI: 1.7 - 259.0]). The main drug involved was thioridazine. The odds ratio for current use of antidepressants was also increased, at 4.9 (95% CI: 1.1 - 22.5). Conclusions: Our results for conventional antipsychotics are consistent with previous studies of non-fatal venous thromboembolism. The finding for antidepressants needs to be replicated in other studies.

IT 43200-80-2, Zopiclone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(psychotropic drugs and fatal pulmonary embolism risk)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 . THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:980068 HCAPLUS

DOCUMENT NUMBER: 140:230874

TITLE: Dependence on legal psychotropic drugs among alcoholics

AUTHOR(S): Johansson, Bjoern Axel; Berglund, Mats; Hanson, Maria; Poehlen, Christina; Persson, Ingrid

CORPORATE SOURCE: Department of Clinical Alcohol Research, Malmoe University Hospital, Lund University, Lund, Swed.

SOURCE: Alcohol and Alcoholism (Oxford, United Kingdom) (2003), 38(6), 613-618

~~CODEN: ALALDD~~; ISSN: 0735-0414

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Dependence on legal psychotropic drugs (PTD) was reported to have increased in alcoholics, but previous studies report conflicting results concerning the rate of increase and clin. characteristics. The aim of the present study was first, to assess the dependence rate of PTD among alcoholics in open and institutionalized care, and to compare these populations with the general population, and second, to assess rates and doses of high- and low-dose PTD-dependence among alcoholics. In 1997, alcoholics in open and institutionalized care were asked to anonymously fill in a questionnaire on their drug use and dependence. Healthy controls were included. The number of attending subjects was 130 open-care alcoholics at the Department of Alc. and Drug Diseases in Malmoe, Sweden; 23 alcoholics in institutionalized care at Karlsvik

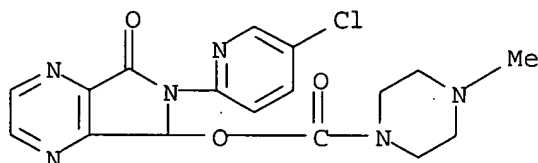
Rehabilitation Center in Høeør, Sweden; and 120 healthy controls at Vardcentralen Kirseberg, a primary health care center located in a Malmö area. The approx. attendance rate was 75, 70 and 95%, resp. The questionnaire was based on DSM-IV criteria for dependence. The total rate of PTD-dependent alcoholics was higher in the institutionalized group (35%) than in the open-care setting (14%): difference in proportions (p1-p2 21%; 95% CI: 1%, 41%). Alcoholics were more often PTD-dependent (17%) than were healthy controls (2%), (p1-p2 15%; 95% CI: 9%, 21%). Benzodiazepines (BZD) were the most common PTD. Only four out of a total of 23 BZD-dependent alcoholics developed high-dose BZD-dependence. Those subjects were also misusing other drugs, including cannabis. The authors conclude that alcoholism is associated with legal PTD-dependence and illegal drug misuse. High-dose BZD-dependence is infrequent among BZD-dependent alcoholics.

IT 43200-80-2, Zopiclone

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(alcoholism associated with dependence on legal psychotropic drugs and illegal drug misuse)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:824111 HCAPLUS

DOCUMENT NUMBER: 134:9361

TITLE: Methods of making and using N-desmethylzopiclone

INVENTOR(S): Jerussi, Thomas P.; Senanayake, Chrisantha H.; Rubin, Paul D.; Hong, Yaping; Bakale, Roger A.; Xiang, Tingjian; McConville, Fran A.

PATENT ASSIGNEE(S): Sepracor Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069442	A1	20001123	WO 2000-US12820	20000511
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,			

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6339086 B1 20020115 US 2000-548607 20000413
CA 2373797 AA 20001123 CA 2000-2373797 20000511
EP 1183030 A1 20020306 EP 2000-930565 20000511

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

TR 200200260 T2 20020521 TR 2002-200200260 20000511
BR 2000010573 A 20020604 BR 2000-10573 20000511
JP 2002544232 T2 20021224 JP 2000-617901 20000511
NZ 515626 A 20040430 NZ 2000-515626 20000511
AU 776000 B2 20040819 AU 2000-48364 20000511
US 2002019398 A1 20020214 US 2001-877103 20010611
US 6506753 B2 20030114
NO 2001005542 A 20020114 NO 2001-5542 20011113
ZA 2001009383 A 20021114 ZA 2001-9383 20011114
US 6458791 B2 20021001 US 2002-40475 20020109
US 2002143016 A1 20021003
US 2003119841 A1 20030626 US 2002-259851 20020930
US 2003166657 A1 20030904 US 2003-340957 20030113
US 6946464 B2 20050920

PRIORITY APPLN. INFO.:

US 1999-134239P P 19990514
US 1999-135037P P 19990520
US 2000-548607 A 20000413
WO 2000-US12820 W 20000511
US 2001-877103 A3 20010611
US 2002-40475 A3 20020109

AB The invention is directed to compns. comprising, and methods of using, racemic N-desmethylzopiclone, optically pure (+)-N-desmethylzopiclone, and optically pure (-)-N-desmethylzopiclone in the treatment and prevention of **diseases** and conditions in mammals. The invention is further directed to novel methods of preparing N-desmethylzopiclone, optically pure (+)-N-desmethylzopiclone, and optically pure (-)-N-desmethylzopiclone. The compds. are administered to patients suffering from, anxiety, convulsions, depression, behavioral disorders, sleep disorders, etc.

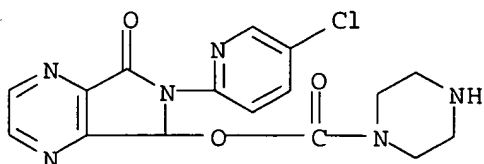
IT **59878-63-6P**, N-Desmethylzopiclone **151776-26-0P**,
(+)-N-Desmethylzopiclone **151776-27-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-desmethylzopiclone for treatment of anxiety and convulsions and other disorders)

RN 59878-63-6 HCAPLUS

CN 1-Piperazinecarboxylic acid, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

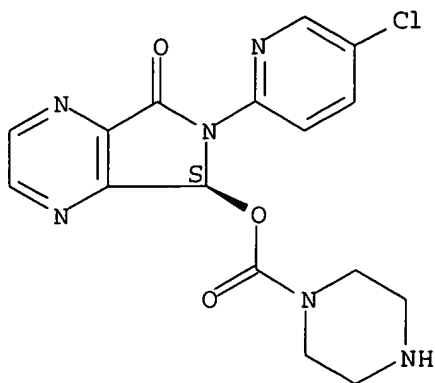


RN 151776-26-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

10/30/2005 10691628.trn

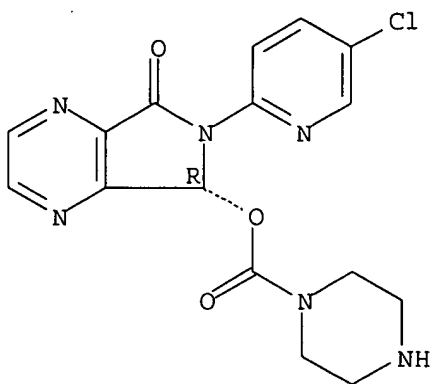
Absolute stereochemistry. Rotation (+).



RN 151776-27-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 300701-71-7P

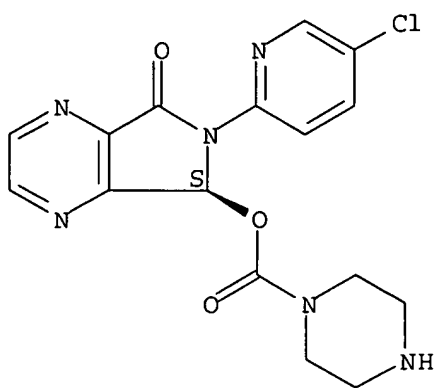
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-desmethylzopiclone for treatment of anxiety and convulsions and other disorders)

RN 300701-71-7 HCAPLUS

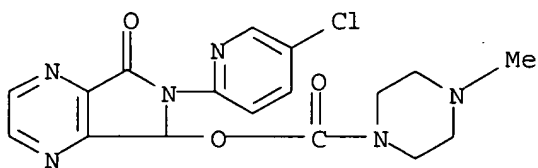
CN 1-Piperazinecarboxylic acid, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



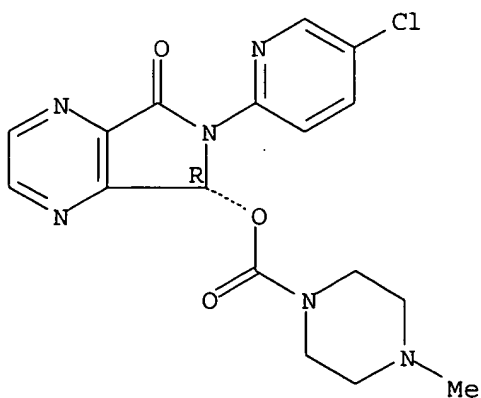
● HCl

IT 43200-80-2, Zopiclone 138680-08-7, (-)-Zopiclone
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of N-desmethylzopiclone for treatment of anxiety and
 convulsions and other disorders)
 RN 43200-80-2 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-
 dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



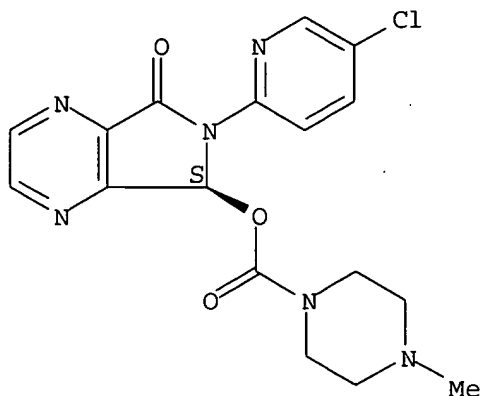
RN 138680-08-7 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-methyl-, (5R)-6-(5-chloro-2-pyridinyl)-6,7-
 dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 138729-47-2P, (+)-Zopiclone 308086-45-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of N-desmethylzopiclone for treatment of anxiety and
convulsions and other disorders)
RN 138729-47-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, (5S)-6-(5-chloro-2-pyridinyl)-6,7-
dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

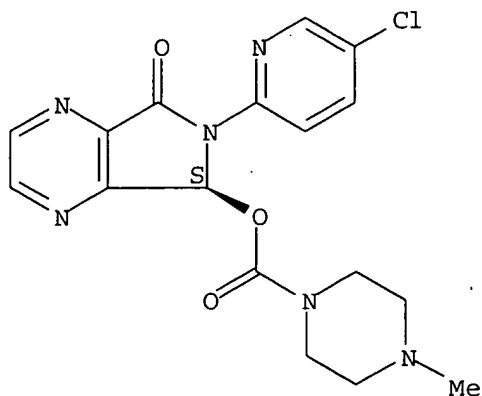


RN 308086-45-5 HCAPLUS
CN Butanedioic acid, hydroxy-, (2R)-, compd. with (5S)-6-(5-chloro-2-
pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl
4-methyl-1-piperazinecarboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 138729-47-2
CMF C17 H17 Cl N6 O3

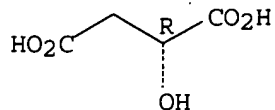
Absolute stereochemistry. Rotation (+).



CM 2

CRN 636-61-3
CMF C4 H6 O5

Absolute stereochemistry.

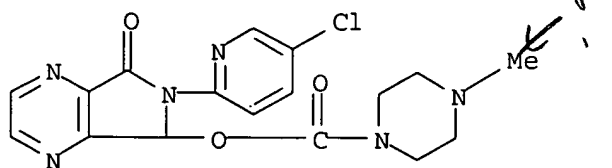


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:359090 HCAPLUS
DOCUMENT NUMBER: 129:75732
TITLE: The efficacy and safety of zopiclone as an hypnotic
AUTHOR(S): Ruther, E.; Parnham, M. J.
CORPORATE SOURCE: Psychiatric Clinic, Georg August University,
Gottingen, D-37075, Germany
SOURCE: Reviews in Contemporary Pharmacotherapy (1998), 9(2),
109-121
CODEN: RCPHFW; ISSN: 0954-8602
PUBLISHER: Marius Press
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB Zopiclone is an effective hypnotic in sleep disorders of various etiologies, with dose-related effects. It shortens sleep onset latency, prolongs deep sleep and reduces the incidence of nocturnal awakenings. Although zopiclone does not prolong the duration of sleep to the same extent as long-acting benzodiazepines, such as flurazepam, its use is associated with better daytime wakefulness and psychomotor performance than are seen during benzodiazepine treatment, mainly as a consequence of its short half-life. For this reason, it has advantages over several benzodiazepines for the treatment of chronic insomnia. In large comparative studies, zopiclone proved to be at least as effective as benzodiazepines. The recommended dose of zopiclone is 7.5 mg. In elderly patient populations, a starting dose of 3.75 mg zopiclone is advisable, but most elderly patients tolerate the 7.5 mg dose, which is usually more effective. An advantage of zopiclone over other hypnotics is that it does not impair respiratory function in patients with mild-to-moderate sleep apnea or airways diseases. It cannot, though, be administered to patients with severe sleep apnea. The safety and tolerability of zopiclone is at least comparable to that of benzodiazepines. The main adverse reactions are bitter taste and dry mouth. Serious adverse reactions are rare. Drowsiness, lack of coordination and concentration difficulties arise in a small percentage of cases. A review with many refs.

IT 43200-80-2, Zopiclone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (efficacy and safety of zopiclone as hypnotic)
RN 43200-80-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:463060 HCAPLUS

DOCUMENT NUMBER: 119:63060

TITLE: Treating sleep disorders, convulsive seizure, and other disorders using optically pure (-)-zopiclone

INVENTOR(S): Young, James W.; Brandt, Steven

PATENT ASSIGNEE(S): Sepracor, Inc., USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310788	A1	19930610	WO 1992-US10705	19921201
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332759	A1	19930628	AU 1993-32759	19921201
PRIORITY APPLN. INFO.:			US 1991-801313	A 19911202
			WO 1992-US10705	A 19921201

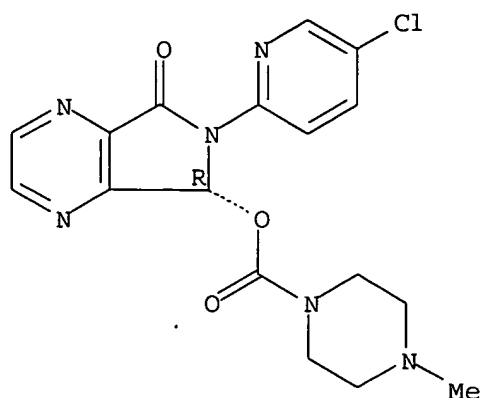
AB (-)-Zopiclone (I) is a drug for treatment of sleep disorders and convulsive disorders. I is free of the side effects of (+)-zopiclone. I is also useful for treating disorders affected by the agonist binding to central nervous system benzodiazepine receptors, such as anxiety and aggressive behavior.

IT 138680-08-7, (-)-Zopiclone
RL: BIOL (Biological study)
(epilepsy and insomnia treatment by)

RN 138680-08-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d 18 ibib abs hitstr tot

L8 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:319255 HCAPLUS

DOCUMENT NUMBER: 138:343854

TITLE: Buccal sprays or capsules containing drugs for treating disorders of the central nervous system

INVENTOR(S): Dugger, Harry A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 537,118.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 19

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077227	A1	20030424	US 2002-230060	20020829 <--
WO 9916417	A1	19990408	WO 1997-US17899	19971001 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 1029536	A1	20000823	EP 2000-109347	19971001 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1036561	A1	20000920	EP 2000-109357	19971001 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2497262	AA	20040429	CA 2003-2497262	20030827
WO 2004035021	A2	20040429	WO 2003-US26847	20030827
WO 2004035021	A3	20041111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1539106 A2 20050615 EP 2003-796314 20030827

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2004141923 A1 20040722 US 2003-671720 20030929 <--

US 2004265239 A1 20041230 US 2003-671715 20030929 <--

US 2005163719 A1 20050728 US 2003-671709 20030929 <--

US 2004120895 A1 20040624 US 2003-726585 20031204 <--

US 2005002867 A1 20050106 US 2004-834815 20040427 <--

PRIORITY APPLN. INFO.: WO 1997-US17899 A2 19971001

US 2000-537118 A2 20000329

EP 1997-911621 A3 19971001

US 2002-230060 A 20020829

WO 2003-US26847 W 20030827

AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent, active compound, and optional flavoring agent; formulation B: aqueous polar solvent, active compound, optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compound, and optional flavoring agent; and formulation D: non-polar solvent, active compound, optional flavoring agent, and propellant. Thus, a lingual spray contained sumatriptan succinate 10-15, EtOH 10-20, propylene glycol 10-15, PEG 35-40, water 10-15, and flavors 2-3%.

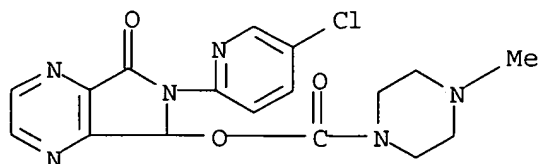
IT 43200-80-2, Zopiclone 138729-47-2, Esopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(buccal sprays or capsule containing drugs for treating disorders of central nervous system)

RN 43200-80-2 HCAPLUS

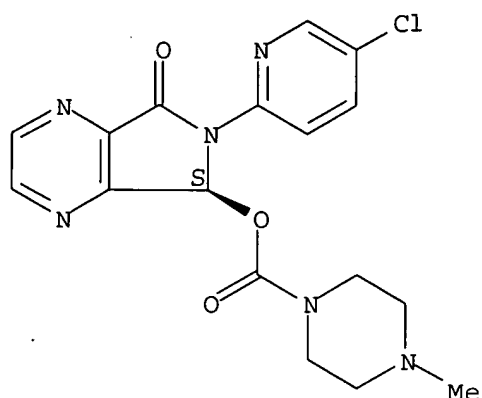
CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



RN 138729-47-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:905802 HCAPLUS
DOCUMENT NUMBER: 137:389166
TITLE: Delivery of sedative-hypnotics through an inhalation route
INVENTOR(S): Rabinowitz, Joshua D.; Zaffaroni, Alejandro C.
PATENT ASSIGNEE(S): Alexza Molecular Delivery Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 31
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094230	A1	20021128	WO 2002-US15585	20020517 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446904	AA	20030403	CA 2002-2446904	20020513
WO 2003026631	A1	20030403	WO 2002-US18543	20020513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392262	A1	20040303	EP 2002-741994	20020513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

JP 2005503425	T2	20050203	JP 2003-530268	20020513
CA 2446990	AA	20021128	CA 2002-2446990	20020517 <--
EP 1389094	A1	20040218	EP 2002-729235	20020517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536805	T2	20041209	JP 2002-590949	20020517
US 2004126326	A1	20040701	US 2003-734902	20031212 <--
US 2004127481	A1	20040701	US 2003-735198	20031212 <--
US 2004126327	A1	20040701	US 2003-735199	20031212 <--
US 2004127490	A1	20040701	US 2003-735495	20031212 <--
US 2004126328	A1	20040701	US 2003-735496	20031212 <--
US 2004126329	A1	20040701	US 2003-735497	20031212 <--
US 2004156788	A1	20040812	US 2003-749535	20031230 <--
US 2004156789	A1	20040812	US 2003-749536	20031230 <--
US 2004156790	A1	20040812	US 2003-749783	20031230 <--
US 2004156791	A1	20040812	US 2003-750303	20031230 <--
US 2005075273	A1	20050407	US 2003-749539	20031230 <--
US 2005089479	A1	20050428	US 2003-749537	20031230 <--
US 2004184996	A1	20040923	US 2004-766279	20040127 <--
US 2004191179	A1	20040930	US 2004-766566	20040127 <--
US 2004191180	A1	20040930	US 2004-766574	20040127 <--
US 2004191181	A1	20040930	US 2004-766634	20040127 <--
US 2004191182	A1	20040930	US 2004-766647	20040127 <--
US 2004228807	A1	20041118	US 2004-766149	20040127 <--
US 2004184997	A1	20040923	US 2004-767115	20040128 <--
US 2004184998	A1	20040923	US 2004-768205	20040129 <--
US 2004184999	A1	20040923	US 2004-768220	20040129 <--
US 2004185000	A1	20040923	US 2004-768293	20040129 <--
US 2004185003	A1	20040923	US 2004-769157	20040129 <--
US 2004185004	A1	20040923	US 2004-769197	20040129 <--
US 2004202617	A1	20041014	US 2004-768281	20040129 <--
US 2004185001	A1	20040923	US 2004-769046	20040130 <--
US 2004185002	A1	20040923	US 2004-769051	20040130 <--
US 2004161385	A1	20040819	US 2004-775586	20040209 <--
US 2004167228	A1	20040826	US 2004-775583	20040209 <--
US 2004170569	A1	20040902	US 2004-791915	20040303 <--
US 2004170570	A1	20040902	US 2004-792012	20040303 <--
US 2004170572	A1	20040902	US 2004-792096	20040303 <--
US 2004170573	A1	20040902	US 2004-792239	20040303 <--
US 2004185005	A1	20040923	US 2004-813721	20040331 <--
US 2004186130	A1	20040923	US 2004-813722	20040331 <--
US 2004191183	A1	20040930	US 2004-814690	20040331 <--
US 2004191184	A1	20040930	US 2004-814998	20040331 <--
US 2004185006	A1	20040923	US 2004-815527	20040401 <--
US 2004185007	A1	20040923	US 2004-816407	20040401 <--
US 2004185008	A1	20040923	US 2004-816567	20040401 <--
US 2004191185	A1	20040930	US 2004-816492	20040401 <--

PRIORITY APPLN. INFO.:

US 2001-294203P	P	20010524
US 2001-317479P	P	20010905
US 2001-336218P	P	20011030
US 2001-345876P	P	20011109
US 2001-332280P	P	20011121
US 2002-146516	A1	20020513
WO 2002-US18543	W	20020513
US 2002-150267	A1	20020515
US 2002-150268	A1	20020515
US 2002-151596	A1	20020516
US 2002-151626	A1	20020516
US 2002-150591	A1	20020517

US 2002-150857	A1 20020517
WO 2002-US15585	W 20020517
US 2002-152639	A1 20020520
US 2002-152640	A1 20020520
US 2002-152652	A1 20020520
US 2002-153139	A1 20020520
US 2002-153311	A1 20020521
US 2002-153831	A1 20020521
US 2002-153839	A1 20020521
US 2002-155373	A1 20020522
US 2002-155621	A1 20020522
US 2002-155703	A1 20020522
US 2002-155705	A1 20020522
US 2002-154594	A1 20020523
US 2002-154765	A1 20020523
US 2002-155097	A1 20020523
US 2003-734902	A1 20031212
US 2003-735198	A1 20031212
US 2003-735199	A1 20031212
US 2003-735495	A1 20031212
US 2003-735496	A1 20031212
US 2003-735497	A1 20031212
US 2003-749535	A1 20031230
US 2003-749536	A1 20031230
US 2003-749537	A1 20031230
US 2003-749539	A1 20031230
US 2003-749783	A1 20031230
US 2003-750303	A1 20031230

AB The present invention relates to the delivery of sedative-hypnotics through an inhalation route, specifically, to aerosols containing sedative-hypnotics that are used in inhalation therapy. An aerosol composition comprises particles containing at least 5%, preferably 10%, of a sedative-hypnotic drug to be delivered to a mammal through an inhalation route. A method for preparation of aerosol comprises (a) heating a composition containing a sedative-hypnotic drug to form a vapor, and (b) allowing the vapor to cool, thereby forming a condensation aerosol comprising particles, which is inhaled by the mammal. A kit for delivering a sedative-hypnotic drug through an inhalation route to a mammal is provided comprising (a) a composition containing at least 5% of the drug, and (b) a device

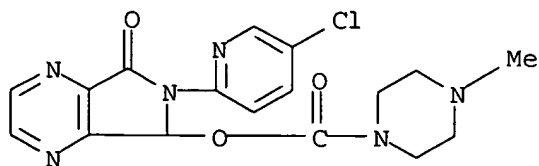
that forms aerosol from the composition, the device comprising (i) an element for heating the composition to form a vapor, (ii) an element allowing the vapor to cool and form an aerosol, and (iii) an element permitting the mammal to inhale the aerosol. For example, a sedative-hypnotic drug was coated on aluminum foil and the coated foil was heated using a halogen bulb to afford thermal vapor (including aerosol). The purity of aerosol was dependent on the coat thickness, i.e., a linear decrease in film thickness is associated with a linear decrease in impurities.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(kit for delivery of sedative-hypnotics through an inhalation route)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:780683 HCAPLUS

DOCUMENT NUMBER: 135:335156

TITLE: Modified-release formulations containing a hypnotic agent

INVENTOR(S): Platteeuw, Johannes Jan; Van Den Heuvel, Dennie Johan Marijn; Van Dalen, Frans; Lemmens, Jacques Maria

PATENT ASSIGNEE(S): Synthron B.V., Neth.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078725	A2	20011025	WO 2001-NL299	20010412 <--
WO 2001078725	A3	20011220		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001050661	A5	20011030	AU 2001-50661	20010412 <--
EP 1272181	A2	20030108	EP 2001-923989	20010412
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003054041	A1	20030320	US 2001-833662	20010413 <--
US 6638535	B2	20031028		
US 2004047908	A1	20040311	US 2003-657075	20030909 <--
PRIORITY APPLN. INFO.:			US 2000-196939P	P 20000413
			WO 2001-NL299	W 20010412
			US 2001-833662	A3 20010413

AB Hypnotic pharmaceutical compns. are made from pellets and exhibit a modified release. Zolpidem or a pharmaceutically acceptable salt thereof is a typical hypnotic. The pellets are preferably spherical and exhibit a dissoln. profile that includes 60% of the hypnotic agent being released from the pellet not earlier than 5 min from the start of a specified in vitro dissoln. test. Although the modified release profile can include 50 of the hypnotic agent being released not earlier than 15 min after the start of the dissoln. test, the pellet preferably does not contain a release rate controlling excipient or coating. Instead, microcryst.

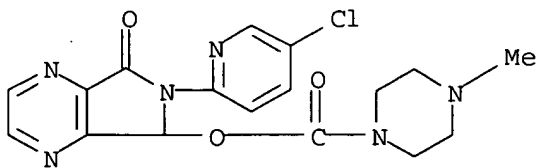
cellulose and the active constitute the majority of the pellet, e.g. 90 or more. Spherical pellets are also made by a convenient method that is applicable to any pharmaceutically active agent. Microcryst. cellulose 1703, zolpidem hydrochloride hydrate 189.2 g, and water 1892 mL were mixed and stirred for 15 min. Water was then removed and the resulted pellets were dried and fractionated by sieving.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modified-release formulations containing hypnotic agent)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



L8 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:581687 HCAPLUS

DOCUMENT NUMBER: 135:157684

TITLE: Continuous method for preparing pharmaceutical granules

INVENTOR(S): Martin-Letellier, Stephane; Le Thiesse, Jean-Claude

PATENT ASSIGNEE(S): Rhodia Chimie, Fr.

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056549	A1	20010809	WO 2001-FR225	20010124 <--
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2804603	B1	20040123	FR 2000-1457	20000204
CA 2399034	AA	20010809	CA 2001-2399034	20010124 <--
EP 1251830	A1	20021030	EP 2001-909880	20010124 <--
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004500193	T2	20040108	JP 2001-556241	20010124
US 2003224057	A1	20031204	US 2002-182527	20020930 <--
PRIORITY APPLN. INFO.:			FR 2000-1457	A 20000204
			WO 2001-FR225	W 20010124

AB The invention concerns a method for formulating in the form of granules

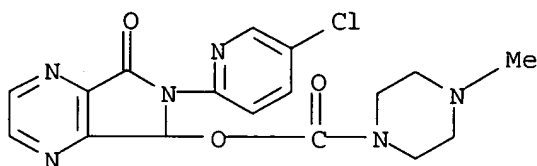
one or several active pharmaceutical principles, characterized in that it consists in continuously introducing various ingredients to be granulated and in granulating said mixture using a device comprising a chamber and at least a rotary stirring arm, and in the presence of a sufficient amount of a binder solution until said granules are obtained. Acetaminophen and a solution of starch was used in the granulation device and granulated. Phys. properties of tablets made from above granules 1000.0, starch 61.5, and magnesium stearate 2.0 g.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(continuous method for preparing pharmaceutical granules)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:396644 HCAPLUS

DOCUMENT NUMBER: 135:24671

TITLE: Solid carriers for improved delivery of active ingredients in pharmaceutical compositions

INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037808	A1	20010531	WO 2000-US32255	20001122 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248363	B1	20010619	US 1999-447690	19991123 <--
CA 2391923	AA	20010531	CA 2000-2391923	20001122 <--
EP 1233756	A1	20020828	EP 2000-980761	20001122 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003517470	T2	20030527	JP 2001-539423	20001122

PRIORITY APPLN. INFO.:

US 1999-447690 A 19991123
WO 2000-US32255 W 20001122

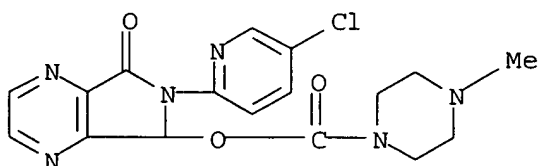
AB The present invention provides solid pharmaceutical compns. for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid pharmaceutical composition includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents. A composition contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 g.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid carriers for improved delivery of active ingredients in pharmaceutical compns.)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:300514 HCAPLUS

DOCUMENT NUMBER: 134:331617

TITLE: Oil-in-water emulsion compositions for polyfunctional active ingredients

INVENTOR(S): Chen, Feng-jing; Patel, Mahesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028555	A1	20010426	WO 2000-US28835	20001018 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,				

ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002107265 A1 20020808 US 1999-420159 19991018 <--
 US 6720001 B2 20040413

PRIORITY APPLN. INFO.: US 1999-420159 A 19991018

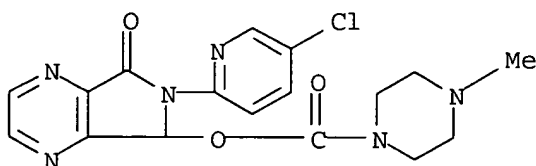
AB Pharmaceutical oil-in-water emulsions for delivery of polyfunctional active ingredients with improved loading capacity, enhanced stability, and reduced irritation and local toxicity are described. Emulsions include an aqueous phase, an oil phase comprising a structured triglyceride, and an emulsifier. The structured triglyceride of the oil phase is substantially free of triglycerides having three medium chain (C6-C12) fatty acid moieties, or a combination of a long chain triglyceride and a polarity-enhancing polarity modifier. The present invention also provides methods of treating an animal with a polyfunctional active ingredient, using dosage forms of the pharmaceutical emulsions. For example, an emulsion was prepared, with cyclosporin A as the polyfunctional active ingredient dissolved in an oil phase including a structured triglyceride (Captex 810D) and a long chain triglyceride (safflower oil). The composition contained (by weight) cyclosporin A 1.0, Captex 810D 5.0, safflower oil 5.0, BHT 0.02, egg phospholipid 2.4, dimyristoylphosphatidyl glycerol 0.2, glycerol 2.25, EDTA 0.01, and water up to 100%, resp.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (oil-in-water emulsion compns. for polyfunctional active ingredients)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:294874 HCAPLUS

DOCUMENT NUMBER: 134:316089

TITLE: Method of using deuterated calcium channel blockers

INVENTOR(S): Foster, Robert T.

PATENT ASSIGNEE(S): Isotechnika, Inc., Can.

SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 138,125.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6221335	B1	20010424	US 1998-184990	19981103 <--
US 5846514	A	19981208	US 1996-725992	19961004 <--

PRIORITY APPLN. INFO.:

US 1994-217897 B2 19940325
 US 1995-410530 B2 19950327
 US 1996-725992 A1 19961004
 US 1998-138125 A2 19980824

OTHER SOURCE(S): MARPAT 134:316089

AB Therapeutic methods and compns. using deuterated enriched 2-[(2-aminoethoxy)methyl]-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-3,5-pyridinedicarboxylic acid 3-Et 5-Me ester and other deuterated dihydropyridine compds. are described. The deuterated compds. exhibit enhanced efficacy in blocking calcium channels over non-deuterated dihydropyridines.

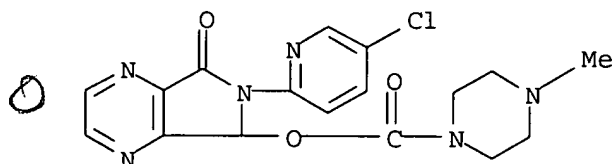
IT 43200-80-2, Zopiclone

RL: PRP (Properties)

(isotope ratio mass spectrometry in determining source of manufacture)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:100975 HCAPLUS

DOCUMENT NUMBER: 134:152652

TITLE: Nitrogen heterocyclic compounds and amino acid
 compositions for reducing oxygen consumption during
 physical exercise

INVENTOR(S): Wiss, Oswald

PATENT ASSIGNEE(S): Switz.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008680	A1	20010208	WO 2000-CH400	20000721 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1200082	A1	20020502	EP 2000-943512	20000721 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003505505 T2 20030212 JP 2001-513410 20000721
 US 6703371 B1 20040309 US 2002-30708 20020114 <--
 PRIORITY APPLN. INFO.: CH 1999-1388 A 19990728
 WO 2000-CH400 W 20000721

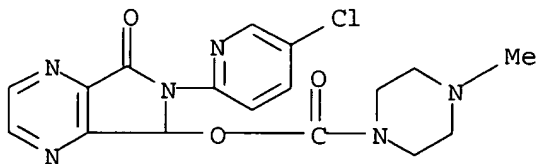
AB The invention relates to pharmaceutically active substances from the group comprising midazolam and compds. with a methyl-substituted nitrogen atom that is the ring atom of a nitrogenous heterocycle. These substances are used to reduce the oxygen consumption during a phys. activity. They can be administered together with an effective amount of D-glucose, D-maltose, ethanol, a glucogenic amine, a glucogenic amino acid or an amino acid (metabolizable by glyoxylate) or a dipeptide and thiamine, or a combination of folic acid and cyanocobalamin, under the proviso that the third component is thiamine or its salt if the second component is D-glucose, D-maltose, a glucogenic amine, a glucogenic amino acid non-metabolizable by glyoxylate, or a dipeptide. Thus, L-tyrosine 100, thiamine 50, pyridoxine 50, ascorbic acid 100, cyanocobalamin 0.05, dextromethorphan 1, and gelatin 200 parts were dissolved in 1000 parts warm water. Gelatin beads were obtained after spraying.

IT 43200-80-2, Zopiclone
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(nitrogen heterocyclic compds. and amino acid compns. for reducing oxygen consumption during phys. exercise)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:10601 HCAPLUS

DOCUMENT NUMBER: 134:76391

TITLE: Timed dual release dosage forms comprising a short acting hypnotic or a salt thereof

INVENTOR(S): Alaux, Gerard; Andre, Frederic; Ducassou, Jean; Lewis, Gareth

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1064937	A1	20010103	EP 1999-401605	19990628 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

CA 2370556 AA 20010104 CA 2000-2370556 20000627 <--
WO 2001000181 A2 20010104 WO 2000-EP6792 20000627 <--
WO 2001000181 A3 20010301

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000011994 A 20020305 BR 2000-11994 20000627 <--
EP 1194132 A2 20020410 EP 2000-954518 20000627 <--
EP 1194132 B1 20040616

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

TR 200103594 T2 20020621 TR 2001-200103594 20000627 <--
JP 2003503340 T2 20030128 JP 2001-505891 20000627
NZ 515997 A 20040326 NZ 2000-515997 20000627
AT 269062 E 20040715 AT 2000-954518 20000627
PT 1194132 T 20041029 PT 2000-954518 20000627
ES 2222223 T3 20050201 ES 2000-954518 20000627
AU 782162 B2 20050707 AU 2000-66944 20000627
ZA 2001010005 A 20021205 ZA 2001-10005 20011205 <--
NO 2001006283 A 20020227 NO 2001-6283 20011220 <--
HK 1043057 A1 20050225 HK 2002-104684 20020624
US 2004258750 A1 20041223 US 2004-818666 20040406 <--

PRIORITY APPLN. INFO.:

EP 1999-401605 A 19990628
WO 2000-EP6792 W 20000627
US 2001-19726 B1 20011220

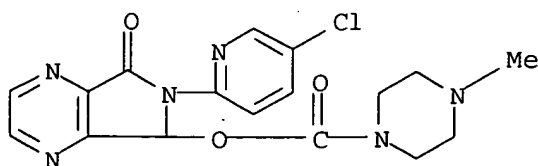
AB The invention relates to timed dual release dosage forms of short acting
hypnotics or salts adapted to release the short-acting hypnotic over a
predetd. time, according to a profile of dissoln. characterized in that it
comprises two release pulses, the first being immediate and the second
being delayed by a fixed time. Immediated-release pellets containing zolpidem
hemitartrate were prepared and coated pellets containing zolpidem hemitartrate,
tartaric acid and benzalkonium chloride prepared and coated with a Eudragit
RS100/RL100 solution

IT 43200-80-2, Zopiclone 138680-08-7, 1-
Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-
7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester, (R)-

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(timed dual release dosage forms comprising a short acting hypnotic or
a salt)

RN 43200-80-2 HCAPLUS

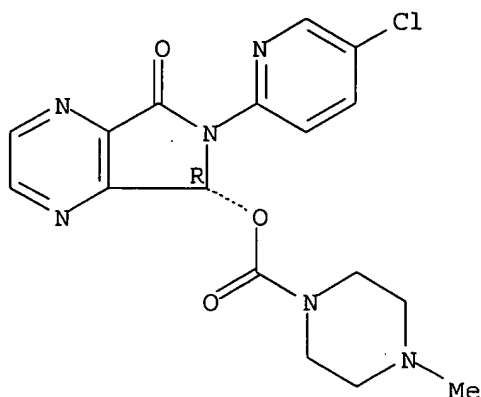
CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-
dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



RN 138680-08-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:824111 HCAPLUS

DOCUMENT NUMBER: 134:9361

TITLE:

INVENTOR(S): Methods of making and using N-desmethylzopiclone
 Jerussi, Thomas P.; Senanayake, Chrisantha H.; Rubin, Paul D.; Hong, Yaping; Bakale, Roger A.; Xiang, Tingjian; McConville, Fran A.

PATENT ASSIGNEE(S): Sepracor Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069442	A1	20001123	WO 2000-US12820	20000511 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6339086	B1	20020115	US 2000-548607	20000413 <--
CA 2373797	AA	20001123	CA 2000-2373797	20000511 <--
EP 1183030	A1	20020306	EP 2000-930565	20000511 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200200260	T2	20020521	TR 2002-200200260	20000511 <--

BR 2000010573	A	20020604	BR 2000-10573	20000511 <--
JP 2002544232	T2	20021224	JP 2000-617901	20000511 <--
NZ 515626	A	20040430	NZ 2000-515626	20000511
AU 776000	B2	20040819	AU 2000-48364	20000511
US 2002019398	A1	20020214	US 2001-877103	20010611 <--
US 6506753	B2	20030114		
NO 2001005542	A	20020114	NO 2001-5542	20011113 <--
ZA 2001009383	A	20021114	ZA 2001-9383	20011114 <--
US 6458791	B2	20021001	US 2002-40475	20020109 <--
US 2002143016	A1	20021003		
US 2003119841	A1	20030626	US 2002-259851	20020930 <--
US 2003166657	A1	20030904	US 2003-340957	20030113 <--
US 6946464	B2	20050920		

PRIORITY APPLN. INFO.:

US 1999-134239P	P	19990514
US 1999-135037P	P	19990520
US 2000-548607	A	20000413
WO 2000-US12820	W	20000511
US 2001-877103	A3	20010611
US 2002-40475	A3	20020109

AB The invention is directed to compns. comprising, and methods of using, racemic N-desmethylzopiclone, optically pure (+)-N-desmethylzopiclone, and optically pure (-)-N-desmethylzopiclone in the treatment and prevention of diseases and conditions in mammals. The invention is further directed to novel methods of preparing N-desmethylzopiclone, optically pure (+)-N-desmethylzopiclone, and optically pure (-)-N-desmethylzopiclone. The compds. are administered to patients suffering from, anxiety, convulsions, depression, behavioral disorders, sleep disorders, etc.

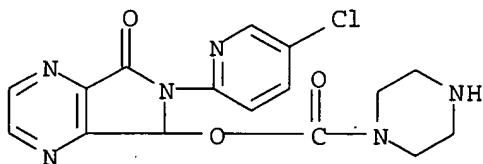
IT 59878-63-6P, N-Desmethylzopiclone 151776-26-0P,
(+)-N-Desmethylzopiclone 151776-27-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-desmethylzopiclone for treatment of anxiety and convulsions and other disorders)

RN 59878-63-6 HCAPLUS

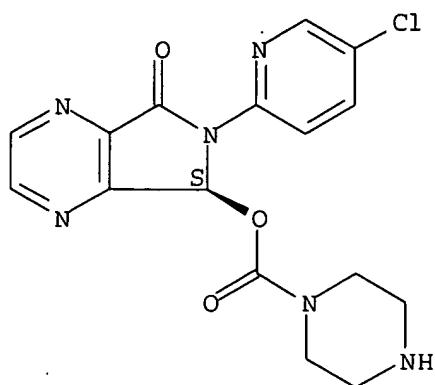
CN 1-Piperazinecarboxylic acid, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



RN 151776-26-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

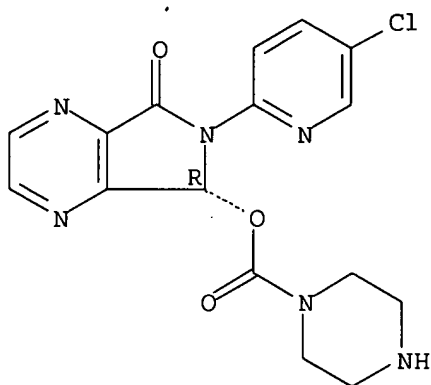
Absolute stereochemistry. Rotation (+).



RN 151776-27-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



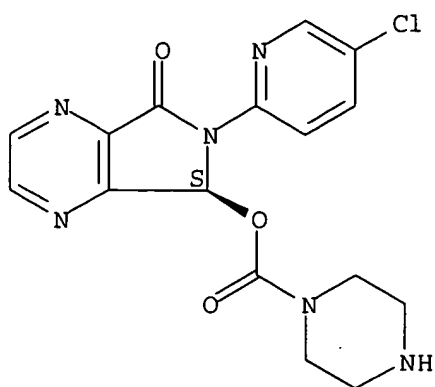
IT 300701-71-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-desmethylzopiclone for treatment of anxiety and convulsions and other disorders)

RN 300701-71-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



● HCl

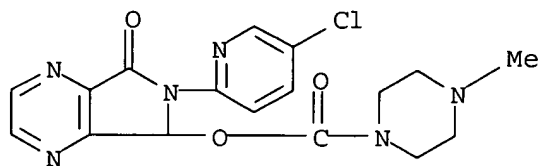
IT 43200-80-2, Zopiclone 138680-08-7, (-)-Zopiclone

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-desmethylzopiclone for treatment of anxiety and convulsions and other disorders)

RN 43200-80-2 HCAPLUS

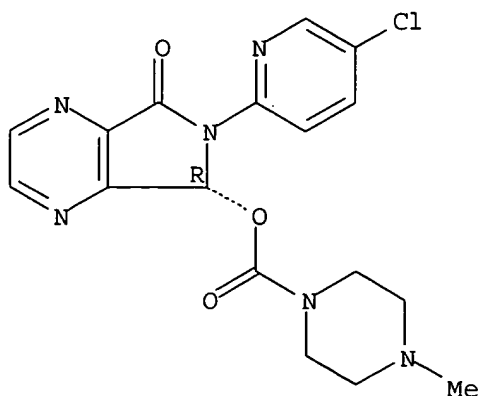
CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



RN 138680-08-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/30/2005 10691628.trn

IT 138729-47-2P, (+)-Zopiclone 308086-45-5P

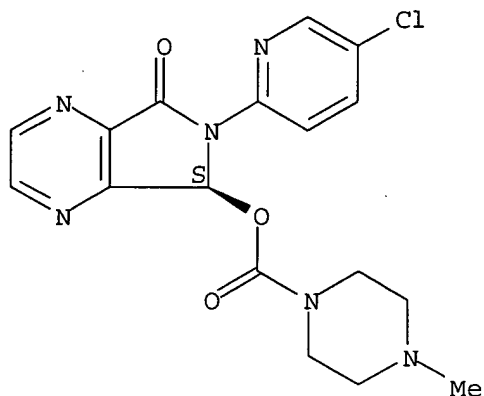
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-desmethylzopiclone for treatment of anxiety and convulsions and other disorders)

RN 138729-47-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 308086-45-5 HCAPLUS

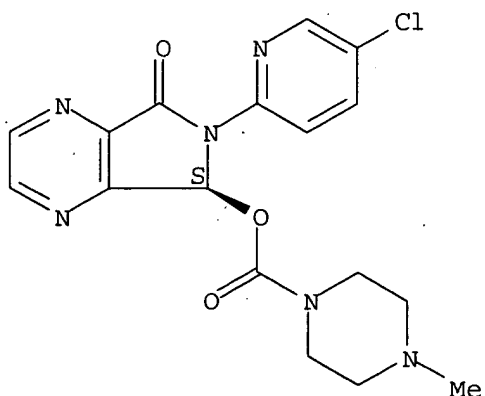
CN Butanedioic acid, hydroxy-, (2R)-, compd. with (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl 4-methyl-1-piperazinecarboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 138729-47-2

CMF C17 H17 Cl N6 O3

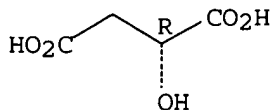
Absolute stereochemistry. Rotation (+).



CM 2

CRN 636-61-3
CMF C4 H6 O5

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:754414 HCAPLUS
DOCUMENT NUMBER: 133:325631
TITLE: Stereospecific delivery of a drug using electrotransport
INVENTOR(S): Gupta, Suneel K.; Sathyan, Gayatri; Padmanabhan, Rama
PATENT ASSIGNEE(S): ALZA Corporation, USA
SOURCE: U.S., 22 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

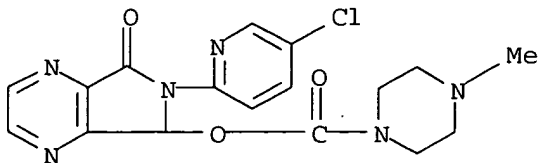
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6136327	A	20001024	US 1997-982245	19971201 <--
JP 2001524364	T2	20011204	JP 2000-522969	19981130 <--
PRIORITY APPLN. INFO.:			US 1997-982245	A 19971201
			WO 1998-US25387	W 19981130

AB Preferential delivery via electrotransport of a preferred isomeric form of a pharmaceutically active chiral compound from a mixture of the isomeric forms of said compound is provided. A method of decreasing the delivery via electrotransport of a less preferred isomer of a drug is also provided. Following electrotransport administration of ketorolac, the mean amount of R isomer absorbed was lower than that of the S isomer.

IT 43200-80-2, Imovane
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stereospecific delivery of a drug using electrotransport)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:725436 HCAPLUS

DOCUMENT NUMBER: 133:301171

TITLE: Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents

INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059475	A1	20001012	WO 2000-US7342	20000316 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6383471	B1	20020507	US 1999-287043	19990406 <--
CA 2366702	AA	20001012	CA 2000-2366702	20000316 <--
EP 1165048	A1	20020102	EP 2000-916547	20000316 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1999-287043	A 19990406
			WO 2000-US7342	W 20000316

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025,

Tween-20

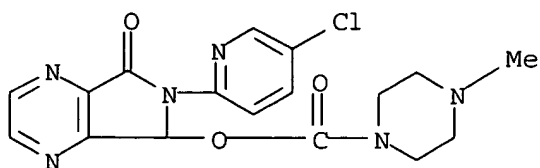
0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:608551 HCAPLUS

DOCUMENT NUMBER: 133:213151

TITLE: Pharmaceutical compositions and methods for improved delivery of hydrophobic therapeutic agents

INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing

PATENT ASSIGNEE(S): Lipocine, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050007	A1	20000831	WO 2000-US165	20000105 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6294192	B1	20010925	US 1999-258654	19990226 <--
CA 2365536	AA	20000831	CA 2000-2365536	20000105 <--
AU 2000022242	A5	20000914	AU 2000-22242	20000105 <--
AU 771659	B2	20040401		
EP 1158959	A1	20011205	EP 2000-901394	20000105 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537317	T2	20021105	JP 2000-600619	20000105 <--
NZ 513810	A	20040227	NZ 2000-513810	20000105
PRIORITY APPLN. INFO.:			US 1999-258654	A 19990226
			WO 2000-US165	W 20000105

AB The present invention relates to triglyceride-free pharmaceutical compns. for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier; where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the surfactants containing the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A pharmaceutical composition contained

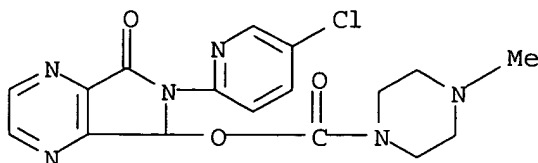
cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. and methods for improved delivery of hydrophobic therapeutic agents)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:383610 HCAPLUS

DOCUMENT NUMBER: 133:22433

TITLE: Controlled-release dosage forms comprising a short acting hypnotic or a salt

INVENTOR(S): Alaux, Gerard; Lewis, Gareth; Andre, Frederic

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1005863	A1	20000607	EP 1998-403037	19981204 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2391983	AA	20000615	CA 1999-2391983	19991201 <--
WO 2000033835	A1	20000615	WO 1999-EP10454	19991201 <--
W: AE, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9915939	A	20010911	BR 1999-15939	19991201 <--
EP 1135125	A1	20010926	EP 1999-968394	19991201 <--
EP 1135125	B1	20050316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101588	T2	20011022	TR 2001-200101588	19991201 <--
JP 2002531499	T2	20020924	JP 2000-586328	19991201 <--

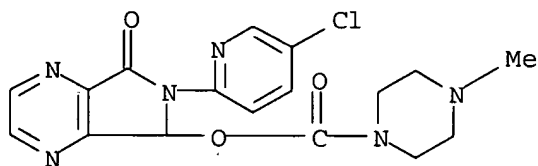
NZ 511750	A	20031031	NZ 1999-511750	19991201
AU 771902	B2	20040408	AU 2000-25399	19991201
AT 290861	E	20050415	AT 1999-968394	19991201
PT 1135125	T	20050729	PT 1999-968394	19991201
TW 565448	B	20031211	TW 1999-88121131	19991203
ZA 2001004169	A	20020522	ZA 2001-4169	20010522 <--
NO 2001002668	A	20010806	NO 2001-2668	20010530 <--
US 6514531	B1	20030204	US 2001-857154	20010716 <--
HK 1037319	A1	20050826	HK 2001-106939	20011003
PRIORITY APPLN. INFO.:			EP 1998-403037	A 19981204
			WO 1999-EP10454	W 19991201

AB The present invention relates to controlled-release dosage forms of short acting hypnotics or salts thereof adapted to release the short acting hypnotic over a predetd. time period, according to a biphasic profile of dissoln., where the first phase is an immediate release phase and the second phase is a prolonged release phase. Thus, prolonged-release tablets comprising 10 mg zolpidem hemitartrate were prepared from zolpidem hemitartrate 8.3, lactose 86.6, citric acid 2.5, HPMC-606 2.1, and Mg stearate 0.5%. Tablets were coated, in a pan coater, with a sufficient quantity of the following mixture to obtain the desired dissoln. profile: Et cellulose 2.0, di-Et phthalate 0.4, HPMC-606 2.0, isopropanol 47.8, and dichloromethane 47.8%.

IT 43200-80-2, Zopiclone 138680-08-7, (R)-Zopiclone
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (controlled-release dosage forms comprising hypnotic or a salt)

RN 43200-80-2 HCAPLUS

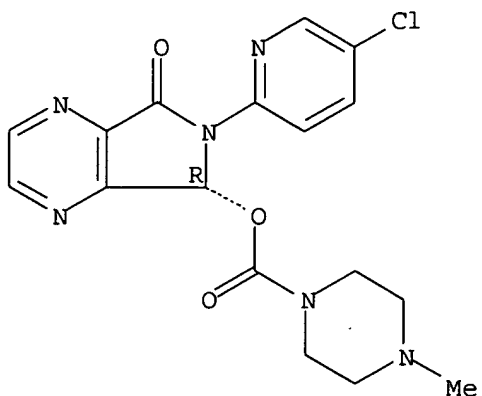
CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



RN 138680-08-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:795635 HCAPLUS

DOCUMENT NUMBER: 132:40535

TITLE: Pharmaceutical composition for treating or preventing sleep disorders

INVENTOR(S): Ohkawa, Shigenori; Miyamoto, Masaomi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9963977	A2	19991216	WO 1999-JP3057	19990608 <--
WO 9963977	A3	20010329		
W:				
AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD,				
GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV,				
MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM,				
TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,				
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,				
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332521	AA	19991216	CA 1999-2332521	19990608 <--
AU 9940605	A1	19991230	AU 1999-40605	19990608 <--
JP 2000063272	A2	20000229	JP 1999-160568	19990608 <--
JP 3509637	B2	20040322		
EP 1100508	A2	20010523	EP 1999-923960	19990608 <--
EP 1100508	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, FI				
AT 247967	E	20030915	AT 1999-923960	19990608
US 6348485	B1	20020219	US 2000-700405	20001114 <--
PRIORITY APPLN. INFO.:			JP 1998-160270	A 19980609
			WO 1999-JP3057	W 19990608

AB The present invention provides a pharmaceutical composition for treating or preventing sleep disorders which comprises (S)-N-[2-(1,6,7,8-tetrahydro-2H-indeno[5,4-b]furan-8-yl)ethyl]propionamide (I) in combination with at least 1 active component selected from zolpidem, zopiclone, triazolam and brotizolam. Thus, I was obtained in a series of steps starting from 2,3-dihydrobenzofuran-5-carbaldehyde. Tablets were prepared from I 10.0, lactose 60.0, corn starch 35.0, gelatin 3.0, and Mg stearate 2.0 g. Treatment with compound I (0.003 mg/kg, p.o.) had no significant effects on the latency of any sleep stages. Treatment with triazolam alone (0.03 mg/kg) did not affect general behavior and it did not cause ataxia and sedation as such were seen when high doses of triazolam are given. Co-administration of I and triazolam shortened the latencies of deep slow wave sleep, stage 3 and stage 4, and it significantly shortened the latency of the stage 4 sleep. The co-administration also had no significant effects on general behavior of monkeys.

IT 43200-80-2, Zopiclone

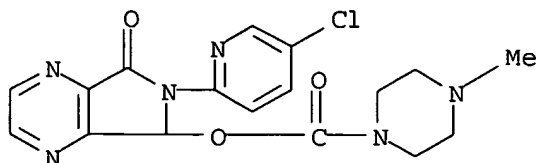
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(pharmaceutical composition for treating or preventing sleep disorders)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



L8 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:811430 HCAPLUS

DOCUMENT NUMBER: 130:43378

TITLE: Enhancement of the efficacy of nifedipine by deuteration.

INVENTOR(S): Foster, Robert T.; Lewanczuk, Richard; Caille, Gilles

PATENT ASSIGNEE(S): Isotechnika Inc., Can.

SOURCE: U.S., 57 pp., Cont.-in-part of U. S. Ser. No. 410,530, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5846514	A	19981208	US 1996-725992	19961004 <--
US 6221335	B1	20010424	US 1998-184990	19981103 <--
US 6334997	B1	20020101	US 2000-558325	20000426 <--
US 2002094995	A1	20020718	US 2001-987370	20011114 <--
US 6818200	B2	20041116		
US 2004253180	A1	20041216	US 2004-795133	20040305 <--
PRIORITY APPLN. INFO.:			US 1994-217897	B2 19940325
			US 1995-410530	B2 19950327
			US 1996-725992	A1 19961004
			US 1998-138125	A2 19980824
			US 2000-558325	A1 20000426
			US 2001-987370	A1 20011114

OTHER SOURCE(S): MARPAT 130:43378

AB A method of enhancing the efficiency and increasing the duration of action of drugs (e.g. dihydropyridines and anti-bacterials) and particularly of nifedipine and penicillins wherein one or more hydrogen atoms are deuterated and wherein the deuterated drug has unexpectedly improved properties when used in much lower concns. than unmodified drug. A method for determining the identity and bioequivalency of a new drug is also disclosed wherein the mol. and isotope structure of a new drug is determined by isotope ratio mass spectrometry and compared with the mol. and isotope structure of a known human drug. Deuterated nifedipine was prepared the hypotensive effect of the deuterated derivative was greater in rats than that of nifedipine itself.

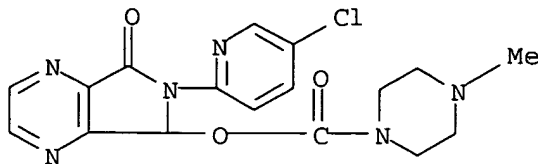
IT 43200-80-2D, Zopiclone, deuterated

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enhancement of the efficacy of nifedipine by deuteration)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:826770 HCAPLUS

DOCUMENT NUMBER: 123:208911

TITLE: Manufacture of multilayer tablets to prevent isolation of drugs for other uses

INVENTOR(S): Bastin, Richard James; Lithgow, Bruce Hamilton

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Ltd., UK

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520947	A1	19950810	WO 1995-GB137	19950124 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2182508	AA	19950810	CA 1995-2182508	19950124 <--
CA 2182508	C	19950810		
AU 9514616	A1	19950821	AU 1995-14616	19950124 <--
AU 696005	B2	19980827		
EP 742711	A1	19961120	EP 1995-906418	19950124 <--
EP 742711	B1	19990317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74903	A2	19970328	HU 1996-2103	19950124 <--
JP 09508410	T2	19970826	JP 1995-520437	19950124 <--
AT 177630	E	19990415	AT 1995-906418	19950124 <--
ES 2132626	T3	19990816	ES 1995-906418	19950124 <--
PL 178572	B1	20000531	PL 1995-315709	19950124 <--
CZ 291980	B6	20030618	CZ 1996-2260	19950124
IL 112501	A1	20000813	IL 1995-112501	19950131 <--
ZA 9500800	A	19960801	ZA 1995-800	19950201 <--
US 6309668	B1	20011030	US 1996-676113	19960730 <--
FI 9603025	A	19960731	FI 1996-3025	19960731 <--
NO 9603202	A	19960930	NO 1996-3202	19960731 <--

NO 313267 B1 20020909
 PRIORITY APPLN. INFO.:

GB 1994-1894 A 19940201
 WO 1995-GB137 W 19950124

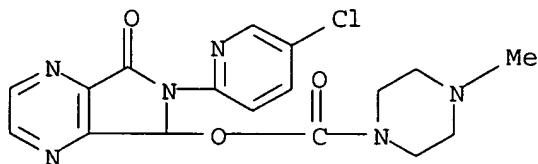
AB This invention relates to an abuse resistant tablet containing two or more layers comprising one or more drugs and one or more gelling agents wherein the drug(s) and gelling agent(s) are contained in sep. layers of the tablet. The multilayer tablet is particularly suitable for the administration of drugs prone to abuse by unauthorized parenteral administration such as analgesics, hypnotics, and anxiolytics. A bilayered tablet containing 7.5 mg zopiclone was obtained by 2-stage pressing procedure, whereby a layer containing hydroxypropyl Me cellulose 30.00, CaHPO₄ 59.2, Na Croscarmellose 10.0, colloidal silica 0.3, and Mg stearate 0.5% was formed in the press and then granules containing zopiclone 6.00, lactose 18.52, CaHPO₄ 35.12, starch 35.12, Na starch glycolate 5.00, and Mg stearate 0.24 % were added and the press operated again.

IT 43200-80-2, Zopiclone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (manufacture of multilayer tablets to prevent isolation of drugs for other uses)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



L8 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:463059 HCAPLUS

DOCUMENT NUMBER: 119:63059

TITLE: Treating sleep disorders, convulsive seizures, and other disorders using optically pure (+)-zopiclone

INVENTOR(S): Young, James W.; Brandt, Steven

PATENT ASSIGNEE(S): Sepracor, Inc., USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310787	A1	19930610	WO 1992-US10631	19921201 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332455	A1	19930628	AU 1993-32455	19921201 <--
US 5786357	A	19980728	US 1994-283497	19940801 <--
US 6436936	B1	20020820	US 1998-121029	19980722 <--
PRIORITY APPLN. INFO.:			US 1991-801312	A 19911202
			US 1992-984039	B1 19921201

WO 1992-US10631 A 19921201
US 1994-283497 A1 19940804

AB (+)-Zopiclone (I) is effective in treating sleep disorders and convulsive disorders. I is free of the side effects of (±)-zopiclone. I is also useful for treating disorders affected by the agonist binding to central nervous system or peripheral benzodiazepine receptors.

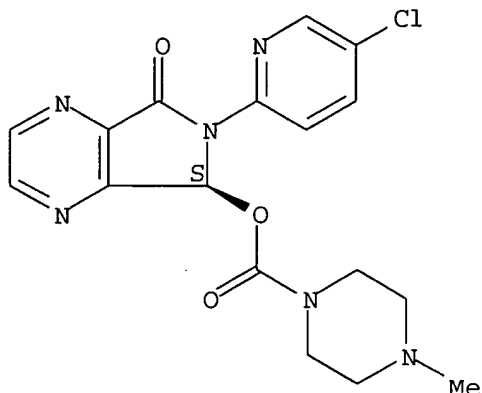
IT 138729-47-2, (+)-Zopiclone

RL: BIOL (Biological study)
(epilepsy and insomnia treatment by)

RN 138729-47-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 19 OF 23. HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:591870 HCAPLUS

DOCUMENT NUMBER: 117:191870

TITLE: Preparation of (-)-zopiclone

INVENTOR(S): Cotrel, Claude; Roussel, Gerard

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.

SOURCE: Eur. Pat. Appl., 5 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 495717	A1	19920722	EP 1992-400111	19920116 <--
R: PT				
FR 2671800	A1	19920724	FR 1991-490	19910117 <--
FR 2671800	B1	19930312		
ZA 9200302	A	19921028	ZA 1992-302	19920115 <--
WO 9212980	A1	19920806	WO 1992-FR31	19920116 <--
W: AU, CA, CS, FI, HU, JP, NO, PL, RU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9212264	A1	19920827	AU 1992-12264	19920116 <--
AU 671797	B2	19960912		
JP 06504548	T2	19940526	JP 1992-504006	19920116 <--

EP 609210	A1	19940810	EP 1992-903994	19920116 <--
EP 609210	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 121089	E	19950415	AT 1992-903994	19920116 <--
ES 2071486	T3	19950616	ES 1992-903994	19920116 <--
PL 166976	B1	19950731	PL 1992-299834	19920116 <--
HU 68915	A2	19950828	HU 1993-2063	19920116 <--
HU 218928	B	20001228		
IL 100677	A1	19951127	IL 1992-100677	19920116 <--
CZ 281011	B6	19960515	CZ 1993-1380	19920116 <--
RU 2110519	C1	19980510	RU 1993-51787	19920116 <--
SK 279060	B6	19980603	SK 1993-719	19920116 <--
CA 2099782	C	20021203	CA 1992-2099782	19920116 <--
NO 9301919	A	19930526	NO 1993-1919	19930526 <--
NO 179911	B	19960930		
NO 179911	C	19970108		
FI 100331	B1	19971114	FI 1993-3248	19930716 <--
AU 9530321	A1	19951109	AU 1995-30321	19950830 <--
US 6319926	B1	20011120	US 1998-124651	19980729 <--
US 6444673	B1	20020903	US 2000-722438	20001128 <--
US 2002193378	A1	20021219	US 2002-200510	20020723 <--
US 6864257	B2	20050308		
US 2005043311	A1	20050224	US 2004-951844	20040928 <--

PRIORITY APPLN. INFO.:

FR 1991-490	A	19910117
US 1992-821662	B1	19920116
WO 1992-FR31	A	19920116
US 1993-34199	B1	19930319
US 1993-109863	B1	19930820
US 1994-232313	B1	19940425
US 1994-342794	B1	19941121
US 1995-493946	B1	19950623
US 1998-124651	A1	19980729
US 2000-722438	A3	20001128

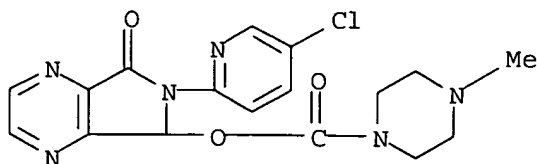
AB The title compound, prepared by optical resolution of racemic zopiclone as the D-(+)-O,O'-dibenzoyltartrate salt, is about twice as active as the racemate and had LD50 of .apprx.1.5 g/kg orally in mice.

IT **43200-80-2**

RL: PROC (Process)
(optical resolution of)

RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



IT **144025-93-4P 144025-94-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and decomposition of)

RN 144025-93-4 HCAPLUS

CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with (+)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-

10/30/2005 10691628.trn

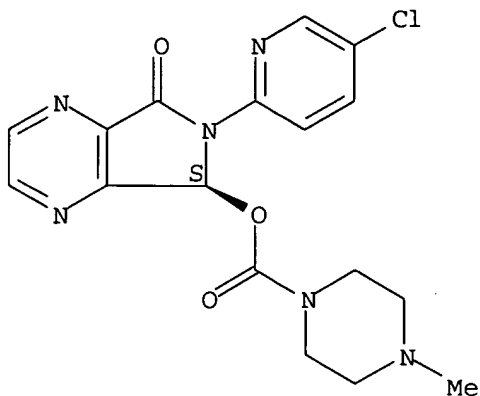
yl 4-methyl-1-piperazinecarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 138729-47-2

CMF C17 H17 Cl N6 O3

Absolute stereochemistry. Rotation (+).

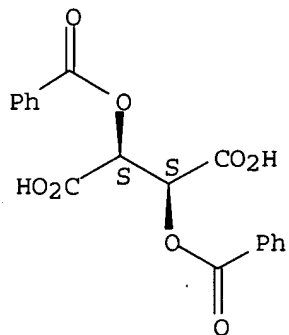


CM 2

CRN 17026-42-5

CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).



RN 144025-94-5 HCAPLUS

CN Butanedioic acid, 2,3-bis(benzoyloxy)-, [S-(R*,R*)]-, compd. with
(-)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl 4-methyl-1-piperazinecarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

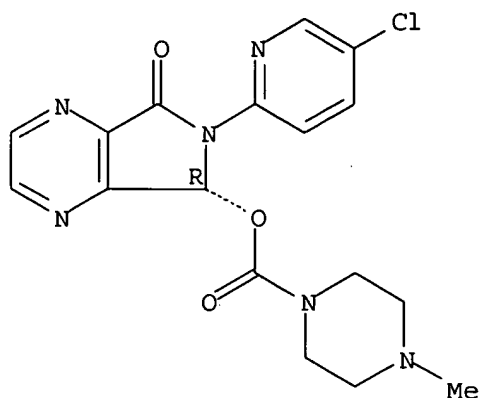
CRN 138680-08-7

CMF C17 H17 Cl N6 O3

Absolute stereochemistry.

10/30/2005

10691628.trn

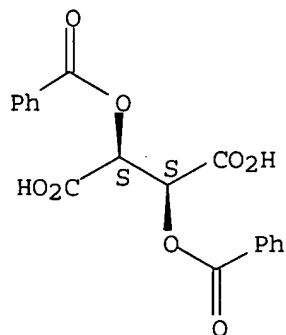


CM 2

CRN 17026-42-5

CMF C18 H14 O8

Absolute stereochemistry. Rotation (+).



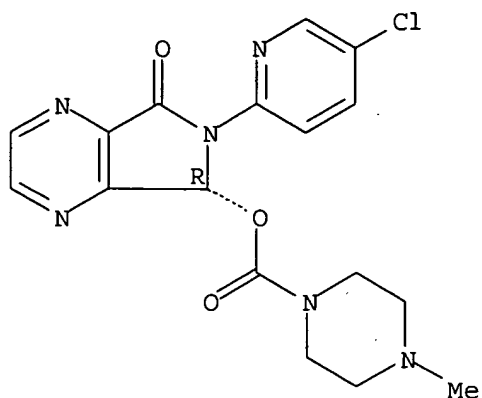
IT 138680-08-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 138680-08-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



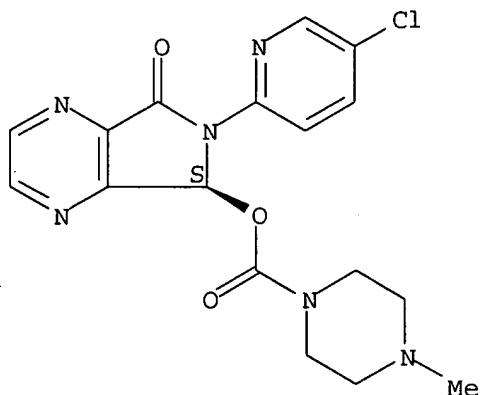
IT 138729-47-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as sedative)

RN 138729-47-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:542254 HCAPLUS

DOCUMENT NUMBER: 115:142254

TITLE: Lyophilized unit-dose pharmaceutical compositions containing drug-cyclodextrin inclusion compounds

INVENTOR(S): Courteille, Frederic; Vanhoeve, Magali

PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.

SOURCE: Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

EP 399902	A1	19901128	EP 1990-401369	19900522 <--
EP 399902	B1	19931222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2647343	A1	19901130	FR 1989-6781	19890524 <--
ZA 9003895	A	19910327	ZA 1990-3895	19900521 <--
IL 94459	A1	19950124	IL 1990-94459	19900521 <--
WO 9014089	A1	19901129	WO 1990-FR359	19900522 <--
W: AU, BG, FI, HU, JP, KR, NO, RO, SU, US				
AU 9057433	A1	19901218	AU 1990-57433	19900522 <--
AU 631888	B2	19921210		
AU 9055828	A1	19910110	AU 1990-55828	19900522 <--
AU 623779	B2	19920521		
AT 98867	E	19940115	AT 1990-401369	19900522 <--
ES 2054289	T3	19940801	ES 1990-401370	19900522 <--
ES 2062437	T3	19941216	ES 1990-401369	19900522 <--
KR 163423	B1	19981201	KR 1990-7369	19900522 <--
CA 2017355	AA	19901124	CA 1990-2017355	19900523 <--
CA 2017360	AA	19901124	CA 1990-2017360	19900523 <--
NO 9002280	A	19901126	NO 1990-2280	19900523 <--
NO 180517	B	19970127		
NO 180517	C	19970507		
JP 03056412	A2	19910312	JP 1990-131437	19900523 <--
JP 2948271	B2	19990913		
ZA 9003978	A	19910327	ZA 1990-3978	19900523 <--
DD 297915	A5	19920130	DD 1990-340952	19900523 <--
FI 103712	B1	19990831	FI 1990-2553	19900523 <--
US 5244881	A	19930914	US 1991-776344	19911122 <--
US 5206025	A	19930427	US 1992-892673	19920604 <--

PRIORITY APPLN. INFO.:

FR 1989-6781	A	19890524
EP 1990-401369	A	19900522
US 1990-526726	B1	19900522
WO 1990-FR359	A	19900522

AB A lyophilized unit-dose pharmaceutical composition with improved solubility comprises an inclusion compound of active ingredients and cyclodextrin. A unit-dose lyophilized pharmaceutical composition contained ketoprofen 0.025, β -cyclodextrin 0.554, dextran 70 0.020, mannitol 0.100, aroma 0.030, and aspartame 0.010 g.

IT **136101-72-9**

RL: BIOL (Biological study)
(lyophilized unit-dose pharmaceuticals containing)

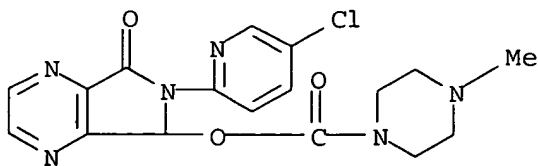
RN 136101-72-9 HCAPLUS

CN β -Cyclodextrin, compd. with 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl 4-methyl-1-piperazinecarboxylate (9CI)
(CA INDEX NAME)

CM 1

CRN 43200-80-2

CMF C17 H17 Cl N6 O3

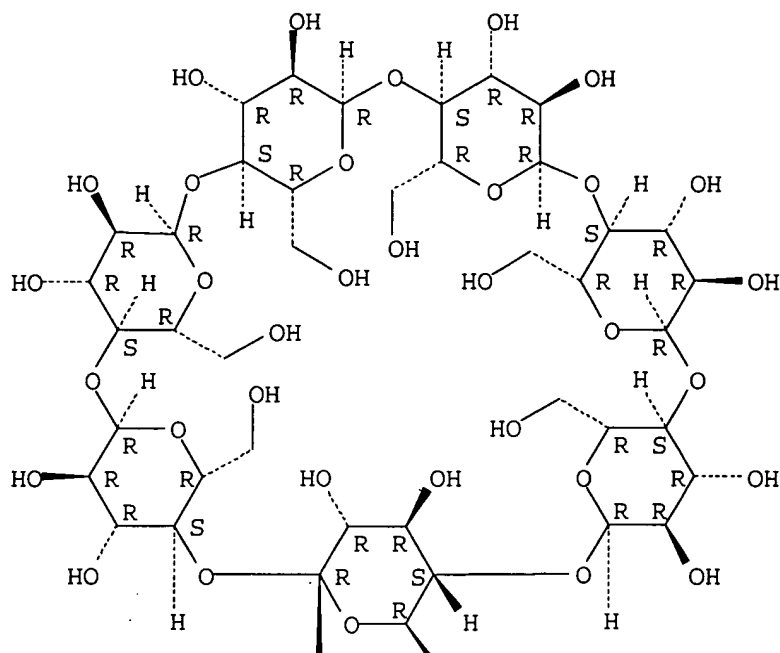


CM 2

CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L8 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:12198 HCAPLUS
DOCUMENT NUMBER: 114:12198
TITLE: Granular pharmaceutical formulations
INVENTOR(S): Bola, Tarlok Singh
PATENT ASSIGNEE(S): May and Baker Ltd., UK
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 361910	A1	19900404	EP 1989-309867	19890928 <--
EP 361910	B1	19940629		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 8904611	A	19900331	FI 1989-4611	19890928 <--
ES 2058546	T3	19941101	ES 1989-309867	19890928 <--
DK 8904816	A	19900331	DK 1989-4816	19890929 <--
NO 8903893	A	19900402	NO 1989-3893	19890929 <--
AU 8942416	A1	19900405	AU 1989-42416	19890929 <--
AU 623177	B2	19920507		
JP 02180813	A2	19900713	JP 1989-252477	19890929 <--
ZA 8907440	A	19900725	ZA 1989-7440	19890929 <--
HU 53282	A2	19901028	HU 1989-5129	19890929 <--
HU 203967	B	19911128		
IL 91836	A1	19941229	IL 1989-91836	19890929 <--
US 5489439	A	19960206	US 1993-203471	19931019 <--

PRIORITY APPLN. INFO.:

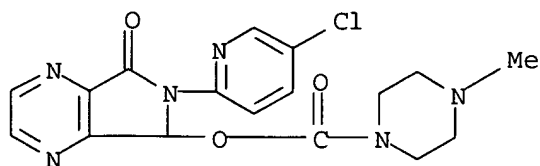
	GB 1988-23082	A	19880930
	GB 1989-7658	A	19890405
	US 1989-414259	B1	19890929
	US 1992-895162	B1	19920605
	US 1993-13487	B1	19930201

AB A particulate drug is adsorbed to the surface of a spray-dried substrate such as sorbitol, and the product is incorporated into a molten excipient, followed, after cooling, by granulation. The granules may be coated. Ketoprofen (600 g) was mixed with 1860 g spray-dried sorbitol followed by the addition of 540 g stearic acid, heating, and cooling to give granules. The granules were coated with hydroxypropyl methyl cellulose.

IT **43200-80-2**, Zopiclone
 RL: BIOL (Biological study)
 (pharmaceutical granular formulations containing)

RN **43200-80-2** HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



L8 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:156973 HCAPLUS

DOCUMENT NUMBER: 94:156973

TITLE: Heterocyclic compounds for pharmaceutical compositions

INVENTOR(S): Cotrel, Claude; Crisan, Cornel; Jeanmart, Claude; Messer, Mayer N.

PATENT ASSIGNEE(S): Rhone-Poulenc Industries S. A., Fr.

SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 628,926, abandoned.
 CODEN: USXXAM

DOCUMENT TYPE: **Patent**

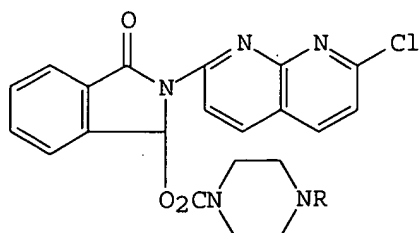
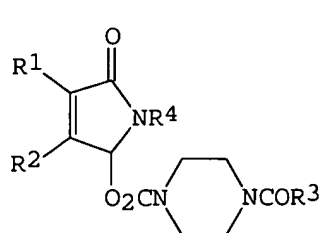
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4220646	A	19800902	US 1977-790801	19770425 <--
FR 2313060	A1	19761231	FR 1974-36963	19741107 <--
FR 2322600	A1	19770401	FR 1975-27160	19750904 <--
FR 2322600	B1	19790914		
FR 2322601	A1	19770401	FR 1975-27161	19750904 <--
FR 2322601	B1	19790914		
FR 2322602	A1	19770401	FR 1975-27162	19750904 <--
FR 2322602	B1	19790914		
JP 51070776	A2	19760618	JP 1975-132198	19751105 <--
ZA 7506954	A	19761027	ZA 1975-6954	19751105 <--
AU 7586331	A1	19770512	AU 1975-86331	19751105 <--
AU 503200	B2	19790830		
BE 835325	A1	19760506	BE 1975-161652	19751106 <--
ES 442389	A1	19770416	ES 1975-442389	19751106 <--
ES 442390	A1	19770416	ES 1975-442390	19751106 <--
PL 100434	P	19781031	PL 1975-184578	19751107 <--
JP 52033685	A2	19770314	JP 1976-1850	19760110 <--
JP 61041919	B4	19860918		
AT 7704019	A	19771015	AT 1977-4019	19770607 <--
AT 7704020	A	19771015	AT 1977-4020	19770607 <--
CS 231958	B2	19850116	CS 1977-5983	19770914 <--
CS 231959	B2	19850116	CS 1977-5984	19770914 <--
JP 55040671	A2	19800322	JP 1979-105633	19790821 <--
JP 59019551	B4	19840507		
JP 55051087	A2	19800414	JP 1979-105632	19790821 <--
JP 60003397	B4	19850128		
PRIORITY APPLN. INFO.:			FR 1974-36963	A 19741107
			FR 1975-27160	A 19750904
			FR 1975-27161	A 19750904
			FR 1975-27162	A 19750904
			US 1975-628926	A2 19751105
			FR 1974-56963	A 19741107
			AT 1975-8486	A 19751107
			CS 1975-7510	A3 19751107

GI

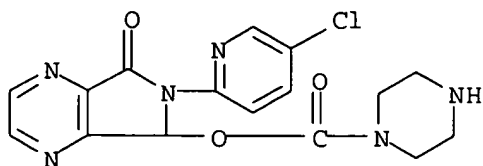


AB The heterocyclic compds. (.apprx.40) I (R1R2 together with the pyrroline ring form an isoindoline, a 2,3,6,7-tetrahydro-5H-1,4-oxathiino[2,3-c]pyrrole, or a 2,3,6,7-tetrahydro-5H-1,4-dithiino[2,3-c]pyrrole; R3 = H, C1-4 alkyl, C2-4 alkenyl, CF3; R4 = chloro-1,8-naphthyridin-2-yl), useful (no data) as tranquilizers, anticonvulsants, muscle relaxants, and hypnotics, were prepared Thus, acetylation of II (R = H) by AcCl gave II (R = Ac). Several pharmaceutical formulations were reported.

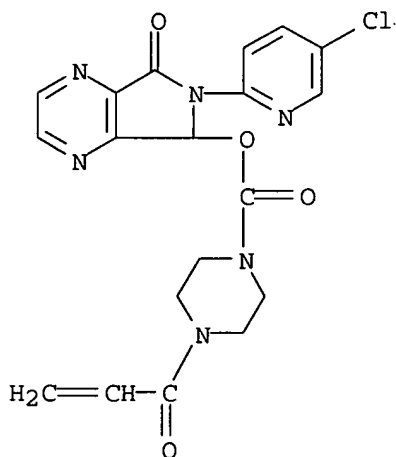
IT 59878-63-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(acylation of)
 RN 59878-63-6 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



IT 59878-64-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 59878-64-7 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-(1-oxo-2-propenyl)-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



L8 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1973:492284 HCAPLUS
 DOCUMENT NUMBER: 79:92284
 TITLE: Anticonvulsive and tranquilizing pyrrolopyrazines
 INVENTOR(S): Cotrel, Claude; Jeanmart, Claude; Messer, Mayer N.
 PATENT ASSIGNEE(S): Rhone-Poulenc S. A.
 SOURCE: Ger. Offen., 18 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2300491	A1	19730719	DE 1973-2300491	19730105 <--
DE 2300491	B2	19770908		

FR 2166314	A1	19730817	FR 1972-505	19720107 <--
FR 2205318	A2	19740531	FR 1972-39731	19721109 <--
DD 102698	C	19731220	DD 1972-167951	19721228 <--
PL 82478	P	19751031	PL 1972-159840	19721228 <--
PL 91759	P	19770331	PL 1972-174539	19721228 <--
PL 91760	P	19770331	PL 1972-174540	19721228 <--
NL 7217852	A	19730710	NL 1972-17852	19721229 <--
US 3862149	A	19750121	US 1972-319876	19721229 <--
ZA 7300072	A	19730926	ZA 1973-72	19730104 <--
HU 164821	P	19740411	HU 1973-RO691	19730104 <--
AU 7350754	A1	19740704	AU 1973-50754	19730104 <--
BE 793730	A1	19730705	BE 1973-126194	19730105 <--
JP 48076892	A2	19731016	JP 1973-69	19730105 <--
JP 52003952	B4	19770131		
GB 1358680	A	19740703	GB 1973-796	19730105 <--
CH 560702	A	19750415	CH 1974-11606	19730105 <--
CH 560703	A	19750415	CH 1974-11607	19730105 <--
AT 323181	B	19750625	AT 1973-100	19730105 <--
CH 564558	A	19750731	CH 1973-113	19730105 <--
ES 410371	A1	19751201	ES 1973-410371	19730105 <--
ES 410372	A1	19751201	ES 1973-410372	19730105 <--
ES 410370	A1	19751216	ES 1973-410370	19730105 <--
CA 991183	A1	19760615	CA 1973-160620	19730105 <--
SU 548212	D	19770225	SU 1973-1873290	19730105 <--
NO 136843	B	19770808	NO 1973-62	19730105 <--
CS 180649	B1	19770831	CS 1976-4995	19730105 <--
CS 180650	B2	19770831	CS 1976-4996	19730105 <--
SE 398503	B	19771227	SE 1973-159	19730105 <--
SE 398503	C	19780406		
CS 180610	P	19780131	CS 1973-122	19730105 <--
FI 54124	B	19780630	FI 1973-27	19730105 <--
FI 54124	C	19781010		
DK 139359	B	19790205	DK 1973-69	19730105 <--
DK 139359	C	19790709		
SU 507240	D	19760315	SU 1974-1993903	19740206 <--
SU 504484	D	19760225	SU 1974-1995434	19740213 <--
JP 52048687	A2	19770418	JP 1976-106831	19760908 <--
JP 52031358	B4	19770813		
JP 52048688	A2	19770418	JP 1976-106832	19760908 <--

PRIORITY APPLN. INFO.:

FR 1972-505	A	19720107
FR 1972-39731	A	19721109

GI For diagram(s), see printed CA Issue.

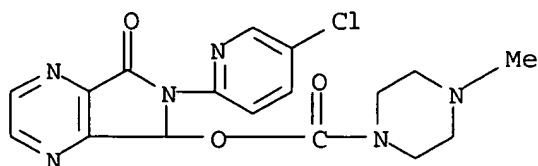
AB Five pyrrolopyrazines (I; R = 3-O₂NC₆H₄, 5-chloro-2-pyridyl, 6-methyl-3-pyridazinyl, or 7-chloro-2-quinolyl; n = 0 or 1), useful as tranquilizers and anticonvulsants, were prepared by reaction of II with YCl or successively with ClCO₂Ph and 1-methylpiperazine, optionally followed by oxidation. II were prepared by reaction of RNH₂ with 2,3-pyrazinedicarboxylic anhydride, followed by ring closure, and KBH₄ reduction of the resulting 5,7-dioxopyrrolopyrazine derivs.

IT **43200-80-2P 43200-96-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

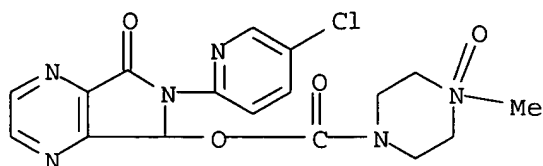
RN 43200-80-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



RN 43200-96-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-methyl-, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester, 4-oxide (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

162.86

324.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-21.17

-21.17

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DICTIONARY FILE UPDATES: 28 OCT 2005 HIGHEST RN 866391-97-1

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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 *
 * The CA roles and document type information have been removed from *
 * the IDE default display format and the ED field has been added, *
 * effective March 20, 2005. A new display format, IDERL, is now *
 * available and contains the CA role and document type information. *
 *

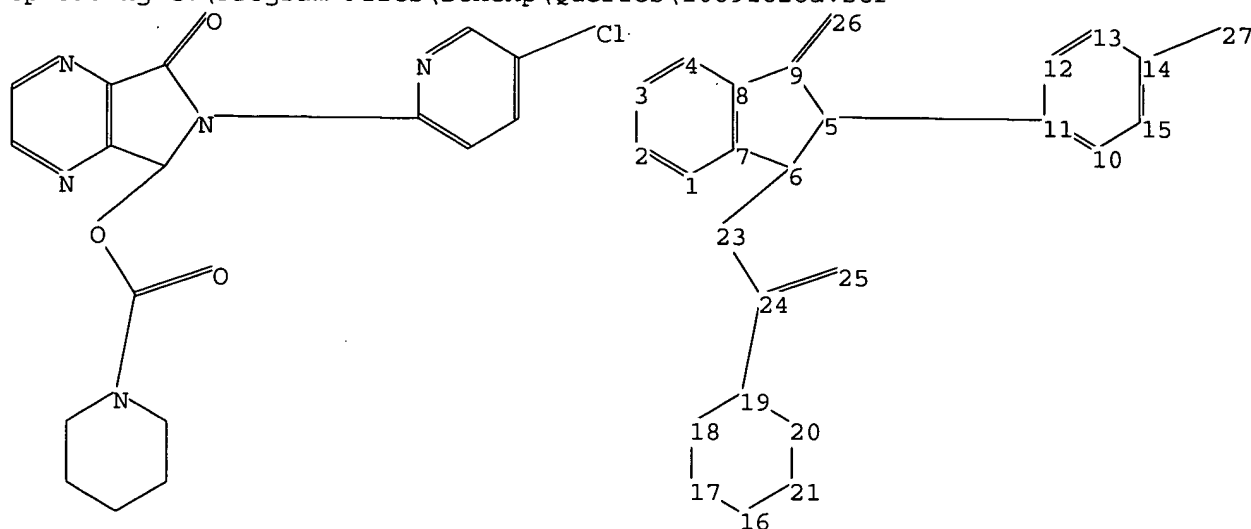
Structure search iteration limits have been increased. See HELP SLIMITS for details.

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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10691628a.str



chain nodes :

23 24 25 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

chain bonds :

5-11 6-23 9-26 14-27 19-24 23-24 24-25

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

5-6 5-9 5-11 6-23 9-26 16-17 16-21 17-18 18-19 19-20 19-24 20-21 23-24
24-25

exact bonds :

6-7 8-9 14-27

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15

isolated ring systems :

containing 1 : 10 : 16 :

G1:O,N

10/30/2005 10691628.trn

Match level :

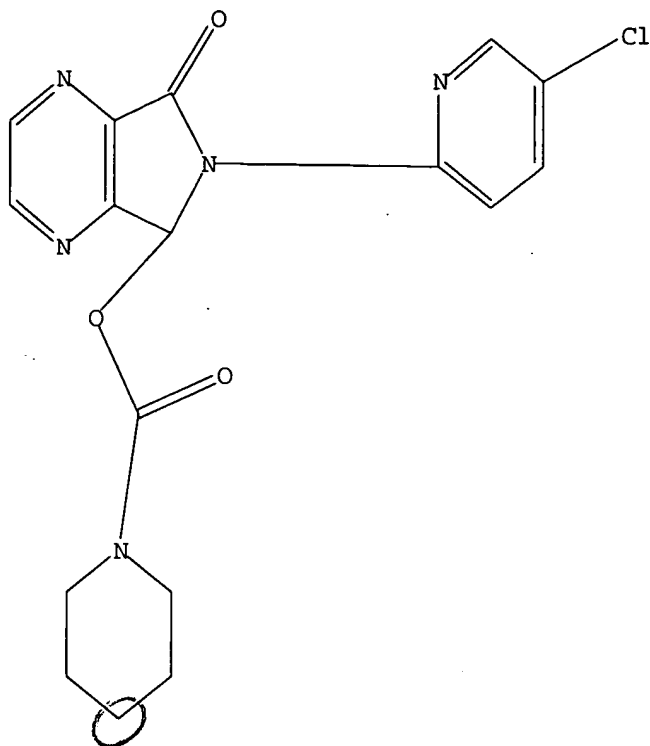
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s 19

SAMPLE SEARCH INITIATED 14:47:32 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

10691628.trn

Page 55

14:51

10/30/2005 10691628.trn

L10 0 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 14:47:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 55 TO ITERATE

100.0% PROCESSED 55 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

L11 0 SEA SSS FUL L9

=> d his

(FILE 'HOME' ENTERED AT 14:41:25 ON 30 OCT 2005)

FILE 'REGISTRY' ENTERED AT 14:41:40 ON 30 OCT 2005

L1 STRUCTURE UPLOADED
L2 2 S L1
L3 53 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:42:12 ON 30 OCT 2005

L4 571 S L3
L5 6 S L4 AND DISEASES
L6 69 S L4 AND P/DT
L7 44 S L6 AND US/PC
L8 23 S L7 AND PY<=2002

FILE 'REGISTRY' ENTERED AT 14:47:11 ON 30 OCT 2005

L9 STRUCTURE UPLOADED
L10 0 S L9
L11 0 S L9 SSS FULL

=> FIL HCAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	163.05	487.45
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-21.17

FILE 'HCAPLUS' ENTERED AT 14:50:05 ON 30 OCT 2005

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FILE COVERS 1907 - 30 Oct 2005 VOL 143 ISS 19

10/30/2005 10691628.trn

FILE LAST UPDATED: 28 Oct 2005 (20051028/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.45	489.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-21.17

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DICTIONARY FILE UPDATES: 28 OCT 2005 HIGHEST RN 866391-97-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

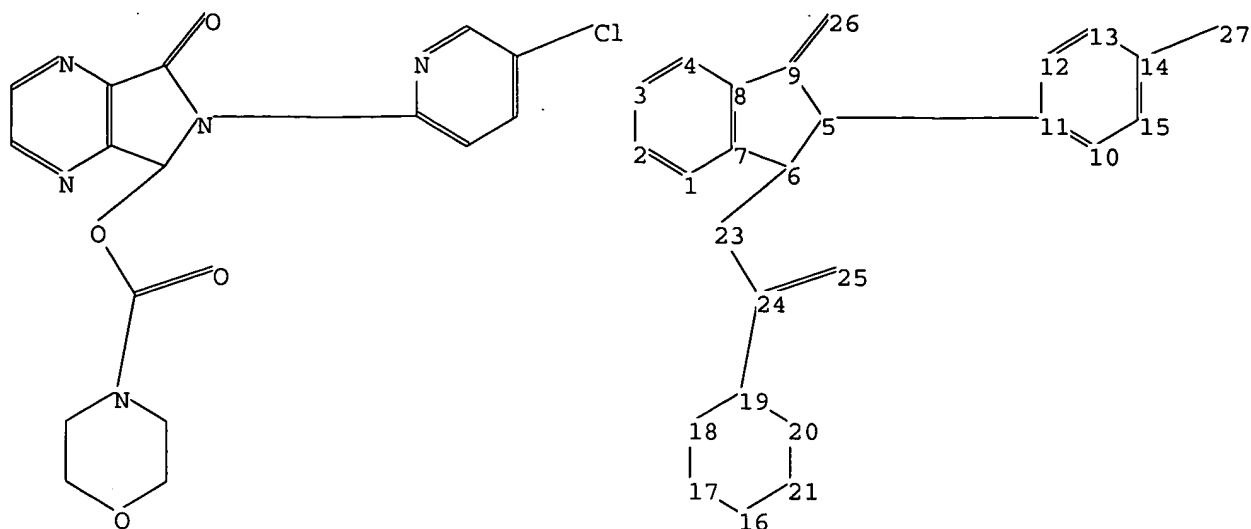
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10691628b.str



chain nodes :
 23 24 25 26 27
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21
 chain bonds :
 5-11 6-23 9-26 14-27 19-24 23-24 24-25
 ring bonds :
 1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
 14-15 16-17 16-21 17-18 18-19 19-20 20-21
 exact/norm bonds :
 5-6 5-9 5-11 6-23 9-26 16-17 16-21 17-18 18-19 19-20 19-24 20-21 23-24
 24-25
 exact bonds :
 6-7 8-9 14-27
 normalized bonds :
 1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15
 isolated ring systems :
 containing 1 : 10 : 16 :

G1:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

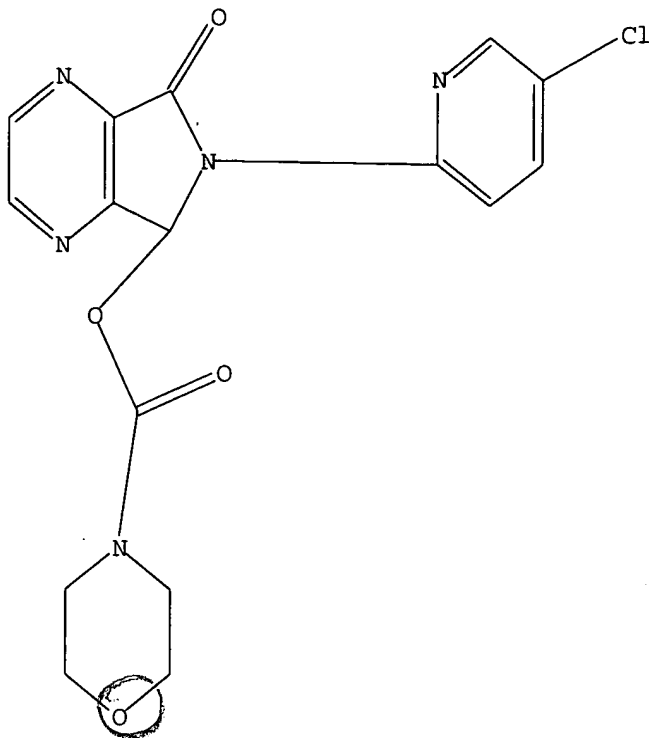
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=> d 112

L12 HAS NO ANSWERS

10/30/2005 10691628.trn

L12 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 14:50:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

0 ANSWERS

L13 0 SEA SSS SAM L12

=> s l12 sss full

FULL SEARCH INITIATED 14:50:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 55 TO ITERATE

100.0% PROCESSED 55 ITERATIONS

SEARCH TIME: 00.00.01

3 ANSWERS

L14 3 SEA SSS FUL L12

=> FIL HCAPLUS

10691628.trn

Page 59

14:51

10/30/2005 10691628.trn

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
	161.33	651.23
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	0.00	-21.17

FILE 'HCAPLUS' ENTERED AT 14:50:45 ON 30 OCT 2005
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FILE COVERS 1907 - 30 Oct 2005 VOL 143 ISS 19
FILE LAST UPDATED: 28 Oct 2005 (20051028/ED)

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=> s l14
L15

1 L14

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:368899 HCAPLUS
DOCUMENT NUMBER: 140:380646
TITLE: Compositions comprising zopiclone derivatives
INVENTOR(S): Jerussi, Thomas P.; Fang, Qun K.
PATENT ASSIGNEE(S): SepraCor, Inc., USA
SOURCE: PET Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037212	A2	20040506	WO 2003-US34105	20031023
WO 2004037212	A3	20040826		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,

10/30/2005

10691628.trn

TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004147521

A1

20040729

US 2003-691628

20031024

PRIORITY APPLN. INFO.:

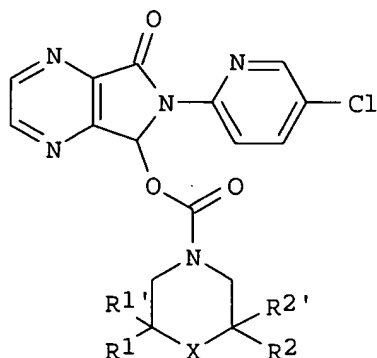
US 2002-420740P

P 20021024

OTHER SOURCE(S):

MARPAT 140:380646

GI



AB The invention is directed to racemic and stereomerically pure zopiclone derivs. E.g., I was prepared Pharmacol. testing for hypnotic-sedative, anticonvulsant, myorelaxant, and anxiolytic activities was carried out. Pharmaceutical formulations were also given.

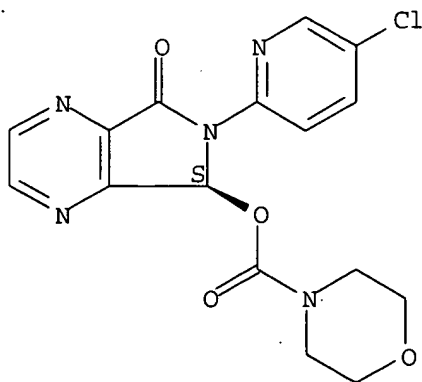
IT 685520-23-4P 685520-24-5P 685520-30-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(comps. comprising zopiclone derivs.)

RN 685520-23-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, (5S)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

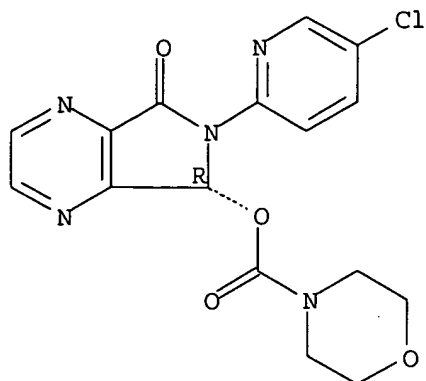


RN 685520-24-5 HCAPLUS

CN 4-Morpholinecarboxylic acid, (5R)-6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)

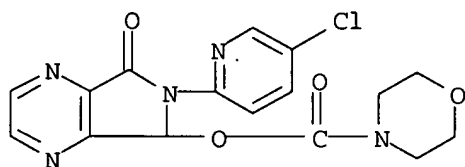
10/30/2005 10691628.trn

Absolute stereochemistry.



RN 685520-30-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5H-pyrrolo[3,4-b]pyrazin-5-yl ester (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
7.39	658.62

SINCE FILE	TOTAL
ENTRY	SESSION
-0.73	-21.90

STN INTERNATIONAL LOGOFF AT 14:51:33 ON 30 OCT 2005